STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 117078

TO: James Spear
Location: REM-4C81/4C70
Art Unit: 1615
Thursday, March 25, 2004

Case Serial Number: 10/087929

From: Deirdre Arnold
Location: Biotech-Chem Library
REM 1A64
Phone: 571-272-2532

Deirdre.Arnold@uspto.gov

Search Notes

Examiner Spear:

Here are the results for your search request for the elected species in cl. 53. If you have any questions or would like to broaden the search to include derivative compounds, please contact me.

Thank you for using STIC services.

Regards,
Deirdre Arnold

STIC SEARCH RESULT FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact:***

Mary Hale, Information Branch Supervisor
Remsen Bldg. 01 D86
571-272-2507

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

  Types of relevant prior art found:
  - ☐ Foreign Patent(s)
  - ☐ Non-Patent Literature
    (journal articles, conference proceedings, new product announcements etc.)
- *Relevant prior art **not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off completed forms to STIC Biotech-Chem Library, Remsen Bldg.

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

Requestor's Name: James M. Spear Serial Number: 10/087,929

Date: 03-16-2004 Phone: 571 272 0605 Art Unit: 1615

Remsen-Rm. 4C81 Mailbox- 4C70

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

Please conduct a search for the compound of claim 1. In response to a restriction requirement applicant has elected claims to the species of claim 53 using 3β-hydroxy-17β-aminoandrost-5-ene.

Page 17 attached.

STAFF USE ONLY

Date completed: 3/26/04

Searcher: Arnold

Terminal time: _____

Elapsed time: _____

CPU time: _____

Total time: _____

Number of Searches: _____

Number of Databases: _____

Search Site

_____ STIC

_____ CM-1

_____ Pre-S

Type of Search

_____ N.A. Sequence

_____ A.A. Sequence

_____ Structure

_____ Bibliographic

Vendors

_____ IG

_____ STN

_____ Dialog

_____ APS

_____ Geninfo

_____ SDC

_____ DARC/Questel

_____ Other

PTO-1590 (9-90)

=> file zcaplus

FILE 'ZCAPLUS' ENTERED AT 11:45:22 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> file hcaplus

FILE 'HCAPLUS' ENTERED AT 11:45:25 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> file biosis

FILE 'BIOSIS' ENTERED AT 11:45:28 ON 25 MAR 2004

FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 24 March 2004 (20040324/ED)

FILE RELOADED: 19 October 2003.

=> FIL STNGUIDE

FILE 'STNGUIDE' ENTERED AT 11:45:33 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 19, 2004 (20040319/UP).

=> d que l67
L37 19 SEA FILE=HCAPLUS ABB=ON PLU=ON AHLEM/AU OR ("AHLEM C"/AU OR "AHLEM C N"/AU OR "AHLEM CLARENCE"/AU OR "AHLEM CLARENCE N"/AU)
L38 83 SEA FILE=HCAPLUS ABB=ON PLU=ON READING/AU OR "READING C"/AU OR ("READING C A"/AU OR "READING C C"/AU OR "READING C J"/AU OR "READING C L"/AU OR "READING C M"/AU) OR ("READING CHRIS"/AU OR "READING CHRIS C"/AU OR "READING CHRIS L"/AU OR "READING CHRISTINE A"/AU OR "READING CHRISTOPHER"/AU OR "READING CHRISTOPHER L"/AU OR "READING CHRISTOPHER LEWIS"/AU OR "READING CHRISTOPHER R"/AU)
L39 40 SEA FILE=HCAPLUS ABB=ON PLU=ON ("FRINCKE J"/AU OR "FRINCKE J M"/AU OR "FRINCKE J R"/AU OR "FRINCKE JAMES"/AU OR "FRINCKE JAMES M"/AU OR "FRINCKE JAMES MARTIN"/AU)
L40 22 SEA FILE=HCAPLUS ABB=ON PLU=ON ("STICKNEY D"/AU OR "STICKNEY D G"/AU OR "STICKNEY D R"/AU) OR ("STICKNEY DWIGHT"/AU OR "STICKNEY DWIGHT R"/AU)
L41 486 SEA FILE=HCAPLUS ABB=ON PLU=ON ("LARDY H"/AU OR "LARDY H A"/AU OR "LARDY HENRY"/AU OR "LARDY HENRY A"/AU OR "LARDY HENRY ARNOLD"/AU)
L42 36 SEA FILE=HCAPLUS ABB=ON PLU=ON ("MARWAH A"/AU OR "MARWAH A K"/AU OR "MARWAH ASHOK"/AU OR "MARWAH ASHOK K"/AU OR "MARWAH ASHOK KUMAR"/AU)
L43 40 SEA FILE=HCAPLUS ABB=ON PLU=ON ("MARWAH P"/AU OR "MARWAH P S"/AU OR "MARWAH PADMA"/AU)
L44 28 SEA FILE=HCAPLUS ABB=ON PLU=ON "PRENDERGAST P"/AU OR ("PRENDERGAST PATRICK T"/AU OR "PRENDERGAST PATRICK THOMAS"/AU)
L45 672 SEA FILE=HCAPLUS ABB=ON PLU=ON (L37 OR L38 OR L39 OR L40 OR L41 OR L42 OR L43 OR L44)
L47 8 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND (?BLOOD? OR ?NEUTOPENIA? OR ?LEUKOPENIA? OR ?ERYTHROPENIA? OR ?BONE MARROW?)/TI
L49 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L47 AND BLOOD CELL/TI
L66 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L45 AND STEROID?/OBI
L67 25 SEA FILE=HCAPLUS ABB=ON PLU=ON L66 OR L49

=> d ibib abs l67 1-
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

YOU HAVE REQUESTED DATA FROM 25 ANSWERS - CONTINUE? Y/(N):y

L67 ANSWER 1 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:334636 HCAPLUS
DOCUMENT NUMBER: 138:332206
TITLE: Methods and synthesis of compounds for the treatment

of **blood cell** disorders and
delayed adverse and unwanted effect of radiation
exposure

INVENTOR(S): **Ahlem, Clarence N.; Reading, Christopher; Frincke, James; Stickney, Dwight; Lardy, Henry A.; Marwah, Padma; Marwah, Ashok; Prendergast, Patrick T.**

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 198 pp., Cont.-in-part of U.S. Ser. No. 675,470.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003083231	A1	20030501	US 2002-87929	20020301
US 6667299	B1	20031223	US 2000-535675	20000323
US 2003060425	A1	20030327	US 2001-820483	20010329
ZA 2001003845	A	20020513	ZA 2001-3845	20010511
ZA 2001003852	A	20020611	ZA 2001-3852	20010511
ZA 2001006980	A	20030123	ZA 2001-6980	20010823
US 2004043973	A1	20040304	US 2002-319356	20021213

PRIORITY APPLN. INFO.:

US 1998-109923P	P	19981124
US 1998-109924P	P	19981124
US 1998-110127P	P	19981127
US 1998-112206P	P	19981215
US 1999-124087P	P	19990311
US 1999-126056P	P	19990323
US 1999-137745P	P	19990603
US 1999-140028P	P	19990616
US 1999-145823P	P	19990727
US 1999-414905	B2	19991008
US 1999-161453P	P	19991025
US 1999-449004	B2	19991124
US 1999-449042	B2	19991124
US 1999-449184	B2	19991124
US 1999-461026	B2	19991215
US 2000-535675	A2	20000323
US 2000-586672	B2	20000601
US 2000-586673	B2	20000601
US 2000-675470	A2	20000928
US 2001-272624P	P	20010301
US 2001-820483	A2	20010329
US 2001-323016P	P	20010910
US 2001-328738P	P	20011011
US 2001-338015P	P	20011108
US 2001-340045P	P	20011130
US 2001-343523P	P	20011220
US 2000-190140P	P	20000316
US 2000-257071P	P	20001220

OTHER SOURCE(S): MARPAT 138:332206

AB The invention relates to the use of compds. to treat a number of conditions, such as blood cell disorders and symptoms and conditions associated with delayed adverse or unwanted effects of radiation therapy. Compds. that can be used in the invention include methyl-2,3,4-trihydroxy-1-O-(7,17-dioxoandrost-5-ene-3β-yl)-β-D-glucopyranosiduronate,

16α,3α-dihydroxy-5α-androstan-17-one or 3,7,16,17-tetrahydroxyandrost-5-ene, 3,7,16,17-tetrahydroxyandrost-4-ene,3,7,16,17-tetrahydroxyandrost-1-ene or 3,7,16,17-tetrahydroxyandrostane that can be used in the treatment method. Methods for the synthesis of those compds. are exemplified. Formulation and dosage of those compds. are claimed.

L67 ANSWER 2 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:241988 HCAPLUS
DOCUMENT NUMBER: 138:248958
TITLE: Methods and formulations of **steroid** compounds to modulate the immune and cellular response in various pathological states.
INVENTOR(S): **Ahlem, Clarence N.**; **Frincke, James M.**; Dos Anjos De Carvalho, Luis Daniel; Heggie, William; **Prendergast, Patrick T.**; **Reading, Christopher L.**; Thadikonda, Krupakar Paul; Vernon, Russell N.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S. Ser. No. 675,470.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003060425	A1	20030327	US 2001-820483	20010329
US 6667299	B1	20031223	US 2000-535675	20000323
ZA 2001003845	A	20020513	ZA 2001-3845	20010511
ZA 2001003852	A	20020611	ZA 2001-3852	20010511
ZA 2001006980	A	20030123	ZA 2001-6980	20010823
WO 2002069977	A1	20020912	WO 2002-US6708	20020301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003083231	A1	20030501	US 2002-87929	20020301
EP 1372664	A1	20040102	EP 2002-709780	20020301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004043973	A1	20040304	US 2002-319356	20021213

PRIORITY APPLN. INFO.:
US 1998-109923P P 19981124
US 1998-109924P P 19981124
US 1998-110127P P 19981127
US 1998-112206P P 19981215
US 1999-124087P P 19990311
US 1999-126056P P 19990323
US 1999-137745P P 19990603
US 1999-140028P P 19990616
US 1999-145823P P 19990727
US 1999-414905 B2 19991008
US 1999-161453P P 19991025

US 1999-449004	B2	19991124
US 1999-449042	B2	19991124
US 1999-449184	B2	19991124
US 1999-461026	B2	19991215
US 2000-535675	A2	20000323
US 2000-586672	B2	20000601
US 2000-586673	B2	20000601
US 2000-675470	A2	20000928
US 2000-257071P	P	20001220
US 2000-190140P	P	20000316
US 2001-272624P	P	20010301
US 2001-820483	A	20010329
US 2001-323016P	P	20010910
US 2001-328738P	P	20011011
US 2001-340054P	P	20011101
US 2001-338015P	P	20011108
US 2001-340045P	P	20011130
US 2001-343523P	P	20011220
WO 2002-US6708	W	20020301

OTHER SOURCE(S): MARPAT 138:248958

AB The invention provides compns. comprised of steroids, e.g., 16α-bromo-3β-hydroxy-5α-androstan-17-one hemihydrate and one or more excipients, including compns. that comprise a liquid formulation comprising less than about 3% volume/volume water. The compns. are useful to make improved pharmaceutical formulations. The invention also provides methods of intermittent dosing of steroid compds. such as analogs of 16α-bromo-3β-hydroxy-5α-androstan-17-one and compns. useful in such dosing regimens. The invention further provides compns. and methods to inhibit pathogen replication, ameliorate symptoms associated with immune dysregulation and to modulate immune responses in a subject using the compds. The invention also provides methods to make and use these immunomodulatory compns. and formulations.

L67 ANSWER 3 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:216272 HCAPLUS

DOCUMENT NUMBER: 139:85533

TITLE: Microwave induced selective enolization of **steroidal** ketones and efficient acetylation of sterols in semisolid state

AUTHOR(S): **Marwah, Padma; Marwah, Ashok; Lardy, Henry A.**

CORPORATE SOURCE: Institute for Enzyme Research, Department of Biochemistry, University of Wisconsin at Madison, Madison, WI, 53726, USA

SOURCE: Tetrahedron (2003), 59(13), 2273-2287
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:85533

AB Under microwave irradiation steroidal enones, more specifically, position three carbonyls were efficiently and selectively converted to the corresponding enol acetates in the presence of addnl. enolizable carbonyl functions at other positions, using acetic anhydride and a catalytic amount of toluene-p-sulfonic acid. Acetylation of hydroxyl groups of the sterols, including those at the hindered positions, was near quant. Strictly anhydrous conditions were not a pre-requisite for acetylation and the reaction system easily tolerated up to 10% (volume/volume) moisture.

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 4 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:788256 HCAPLUS
DOCUMENT NUMBER: 138:180934
TITLE: Ergosteroids VII: perchloric acid-induced transformations of 7-oxygenated **steroids** and their bio-analytical applications-a liquid chromatographic-mass spectrometric study
AUTHOR(S): **Marwah, Ashok; Marwah, Padma; Lardy, Henry**
CORPORATE SOURCE: Institute for Enzyme Research, Department of Biochemistry, University of Wisconsin, Madison, WI, 53726, USA
SOURCE: Bioorganic Chemistry (2002), 30(4), 233-248
CODEN: BOCMBM; ISSN: 0045-2068
PUBLISHER: Elsevier Science
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Sulfate esters of 7-oxo-Δ5-steroids can be selectively and quant. hydrolyzed to the corresponding free steroids in the presence of carboxylic acid esters by solvolysis with perchloric acid in Et acetate at room temperature Sulfates as well as carboxylic acid esters, Me ethers, and ketals can be quant. converted to the corresponding 3,5-diene-7-one derivs. by heating with perchloric acid in methanol at 65°. The dienes have a strong UV absorption with maximum centered around 284 nm. These reactions have been used for the characterization and structural elucidation of 7-oxygenated-Δ5-steroids that are present in complex biomatrices and can also be used for the quant. estimation of total 7-oxo-Δ5-steroids (free as well as conjugated) in biol. matrixes.
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 5 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:695788 HCAPLUS
DOCUMENT NUMBER: 137:226941
TITLE: Use of certain **steroids** for treatment of a number of conditions including **blood cell** deficiencies
INVENTOR(S): **Ahlem, Clarence N.; Reading, Christopher; Frincke, James; Stickney, Dwight; Lardy, Henry; Marwah, Padma; Marwah, Ashok; Prendergast, Patrick T.**
PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 383 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002069977	A1	20020912	WO 2002-US6708	20020301

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003060425	A1	20030327	US 2001-820483	20010329
EP 1372664	A1	20040102	EP 2002-709780	20020301

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:

US 2001-272624P	P	20010301
US 2001-820483	A	20010329
US 2001-323016P	P	20010910
US 2001-328738P	P	20011011
US 2001-340054P	P	20011101
US 2001-338015P	P	20011108
US 2001-343523P	P	20011220
US 1998-109923P	P	19981124
US 1998-109924P	P	19981124
US 1998-110127P	P	19981127
US 1998-112206P	P	19981215
US 1999-124087P	P	19990311
US 1999-126056P	P	19990323
US 1999-137745P	P	19990603
US 1999-140028P	P	19990616
US 1999-145823P	P	19990727
US 1999-414905	B2	19991008
US 1999-161453P	P	19991025
US 1999-449004	B2	19991124
US 1999-449042	B2	19991124
US 1999-449184	B2	19991124
US 1999-461026	B2	19991215
US 2000-535675	A2	20000323
US 2000-586672	B2	20000601
US 2000-586673	B2	20000601
US 2000-675470	A2	20000928
US 2000-257071P	P	20001220
WO 2002-US6708	W	20020301

OTHER SOURCE(S): MARPAT 137:226941

AB The invention relates to the use of compds. to treat a number of conditions, such as thrombocytopenia, neutropenia or the delayed effects of radiation therapy. Compds. that can be used in the invention include methyl-2,3,4-trihydroxy-1-O-(7,17-dioxoandrost-5-ene-3β-yl)-β-D-glucopyranosid ronate. Formulations containing the steroids are also exemplified.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 6 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:498448 HCAPLUS

DOCUMENT NUMBER: 137:303980

TITLE: Analysis of ergosteroids VIII: Enhancement of signal response of neutral **steroidal** compounds in liquid chromatographic-electrospray ionization mass spectrometric analysis by mobile phase additives

AUTHOR(S): **Marwah, Ashok; Marwah, Padma; Lardy, Henry**

CORPORATE SOURCE: Institute for Enzyme Research and Department of Biochemistry, University of Wisconsin, Madison, WI, 53705, USA

SOURCE: Journal of Chromatography, A (2002), 964(1-2), 137-151
CODEN: JCRAEY; ISSN: 0021-9673

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal
LANGUAGE: English
AB The signal response of moderately polar to nonpolar neutral steroidal compds. in pos. ion mode was significantly improved in electrospray ionization mode by addition of volatile organic acids (trifluoroacetic acid, acetic and formic) at concns. much lower than those normally employed for HPLC sepns. of ionic compds. Each of the three acids enhanced the sensitivity, the order being: formic acid (.apprx.50-200 ppm, volume/volume) > acetic acid (100-500 ppm) > trifluoroacetic acid (5-20 ppm). Higher concns. caused decrease in the sensitivity. The extent of increase in the sensitivity was compound specific and also depended on the nature of organic modifier present in the mobile phase. Acetic acid was the acid of choice for the 'wrong-way-round' ionization of sulfate conjugates. The postcolumn addition of silver nitrate produced highly stable (M + Ag)+ adducts with concomitant increase in signal response and reduction in baseline noise.
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 7 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:935354 HCAPLUS
DOCUMENT NUMBER: 136:64094
TITLE: The use of synthetic, non-hormonal 21-aminosteroids, derivatives, metabolites, and precursors thereof in the treatment of viral infections
INVENTOR(S): **Prendergast, Patrick Thomas**
PATENT ASSIGNEE(S): Kotze, Gavin Salomon, S. Afr.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097749	A2	20011227	WO 2001-IB1101	20010622
WO 2001097749	A3	20020523		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001074383	A5	20020102	AU 2001-74383		20010622
PRIORITY APPLN. INFO.:			IE 2000-511	A	20000623
			IE 2001-275	A	20010321
			WO 2001-IB1101	W	20010622

AB The invention discloses the use of synthetic, non-hormonal 21-aminosteroids, derivs., metabolites, and precursors thereof in the treatment of viral infections, particularly hepatitis and retroviral infection by HIV. Synthetic non-hormonal 21-aminosteroids are disclosed for use in the prophylaxis and therapy of hepatitis viral infections. These compds. can be administered alone or in combination with conventional antiviral agents.

L67 ANSWER 8 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:319912 HCAPLUS
DOCUMENT NUMBER: 134:331643
TITLE: Therapeutic composition comprising **steroids** for the treatments of **blood cell** deficiencies
INVENTOR(S): **Frincke, James Martin; Reading, Christopher L.; Prendergast, Patrick T.**
PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

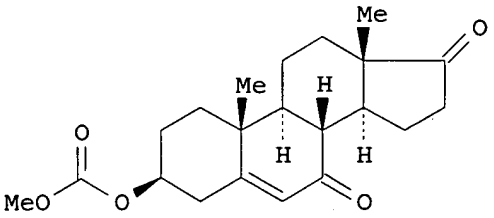
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030802	A2	20010503	WO 2000-US26771	20000928
WO 2001030802	A3	20020214		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000079880	A5	20010508	AU 2000-79880	20000928
EP 1223941	A2	20020724	EP 2000-970511	20000928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003512474	T2	20030402	JP 2001-533153	20000928

PRIORITY APPLN. INFO.: US 1999-161453P P 19991025
WO 2000-US26771 W 20000928
OTHER SOURCE(S): MARPAT 134:331643

AB The present invention provides methods and compns. to prevent or treat a hematopoietic disorder such as thrombocytopenia or neutropenia by administering to a subject an effective amount of a steroid such as 3,7,16,17-tetrahydroxy-androst-5-ene, 3,16,17-trihydroxyandrostane, 3-hydroxy-16-haloandrostane-17-one or 3,17-dihydroxy-16-haloandrostane (Markush structures given). Efficacy of 16α-bromoepiandrosterone (I) in increasing blood platelets and neutrophils in a patient infected with HIV virus is reported. A non-aqueous parenteral formulation contained I 100 mg/mL, PEG-300 30, propylene glycol 30, benzyl benzoate 30, and benzyl alc. 2%.

L67 ANSWER 9 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:293332 HCAPLUS
DOCUMENT NUMBER: 135:211172
TITLE: Ergosteroids IV: synthesis and biological activity of **steroid** glucuronosides, ethers, and alkylcarbonates
AUTHOR(S): **Marwah, P.; Marwah, A.**; Kneer, N.; **Lardy, H.**
CORPORATE SOURCE: Department of Biochemistry and Institute for Enzyme Research, University of Wisconsin-Madison, Madison, WI, USA
SOURCE: Steroids (2001), 66(7), 581-595

CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:211172
GI



I

AB The 7-oxo derivative of dehydroepiandrosterone is more active than the parent steroid and is devoid of adverse side effects in rats, monkeys and humans. In anticipation of possible therapeutic use we have sought more active, longer lasting forms of 7-oxo- and 7β-hydroxydehydroepiandrosterones. The 7-oxo- and 7-hydroxy steroids have been converted to glucuronosides, ethers and carbonate esters. The syntheses of these compds. are described and their ability to induce the formation of liver thermogenic enzymes when fed to rats is reported. Some of the new derivs., e.g. I, were found to be somewhat more effective than the equimolar amts. of 7-oxo-DHEA with which they were compared in each experiment

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 10 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:247354 HCAPLUS
DOCUMENT NUMBER: 134:261560
TITLE: Therapeutic treatment of androgen receptor driven conditions using **steroids** or analogs
INVENTOR(S): **Lardy, Henry A.; Marwah, Padma**
PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023405	A2	20010405	WO 2000-US26848	20000928
WO 2001023405	A3	20020530		

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2000077363 A5 20010430 AU 2000-77363 20000928
EP 1228083 A2 20020807 EP 2000-967114 20000928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
PRIORITY APPLN. INFO.: US 1999-157275P P 19990930
US 1999-157347P P 19990930
US 1999-166116P P 19991116
WO 2000-US26848 W 20000928
OTHER SOURCE(S): MARPAT 134:261560
AB A method is claimed to treat or prevent an androgen responsive disease in a subject, or to ameliorate one or more symptoms thereof, comprising administering to a subject, or delivering to the subject's tissues, an effective amount of a steroid or steroid analogs. The steroid is specifically an analog of 1,3,5(10)-estratriene-17α-ethynyl-3β,17β-diol; 17α-ethynylandrostene-3β,17β-diol; 3β,17β-dihydroxyandrost-5-en-16-one; or 3β-methylcarbonate-androst-5-en-7,17-dione. The androgen responsive disease is prostate cancer, benign prostatic hyperplasia, breast cancer, alopecia, acne, hypogonadism or hirsutism. The method further comprises administering to the subject a second therapy; the second therapeutic agent is hydroxyflutamide, leuprolide, megesterol, diethylstilbesterol, aminoglutethimide, spironolactone, tamoxifen, cyproterone acetate, or bicalutamide.
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 11 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:688257 HCAPLUS
DOCUMENT NUMBER: 133:271689
TITLE: immunomodulatory compns. and formulations of **steroids** and bromoandrosterone hemihydrate in particular
INVENTOR(S): Ahlem, Clarence Nathaniel; **Frincke, James Martin**; De Carvalho, Luis Daniel Dos Anjos; Heggie, William; **Prendergast, Patrick T.**; **Reading, Christopher L.**; Thadikonda, Krupakar Paul; Vernon, Russell Neil
PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 244 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056757	A1	20000928	WO 2000-US7883	20000323
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
NZ 513803	A	20010928	NZ 2000-513803	20000323
EP 1163256	A1	20011219	EP 2000-918365	20000323
EP 1163256	B1	20040218		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 2000009476	A	20020219	BR 2000-9476		20000323
JP 2002540119	T2	20021126	JP 2000-606618		20000323
ZA 2001006980	A	20030123	ZA 2001-6980		20010823
NO 2001004588	A	20011121	NO 2001-4588		20010921
PRIORITY APPLN. INFO.:			US 1999-126056P	P	19990323
			US 1999-140028P	P	19990616
			US 1999-414905	A	19991008
			US 1999-164048P	P	19991108
			WO 2000-US7883	W	20000323

AB This invention discloses compns. comprising steroids, e.g., 16α-bromo-3β-hydroxy-5α-androstan-17-one hemihydrate (I) and one or more excipients, typically wherein the composition comprises less than about 3% water to make improved immunomodulatory and pharmaceutical formulations. The methods of intermittent dosing of steroid compds. such as analogs of I and compns. useful in such dosing regimens are provided. The compns. and methods to inhibit pathogen (viral) replication, ameliorate symptoms associated with immune dysregulation and to modulate immune responses in a subject using certain steroids and steroid analogs are also presented.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 12 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:420977 HCAPLUS
DOCUMENT NUMBER: 133:68934
TITLE: Cytokine combination therapy for indications of immunodeficiency
INVENTOR(S): **Prendergast, Patrick T.**
PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035472	A2	20000622	WO 1999-IB2001	19991215
WO 2000035472	A3	20001109		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1998-112206P P 19981215
OTHER SOURCE(S): MARPAT 133:68934
AB This invention relates to methods of treatment of persons and animals with indications of immunodeficiency, wherein the the indication is resultant from viral and/or retroviral, bacterial, fungal or parasitic infection and/or plus infectious protein units. The method involves the administration of an agonist or antagonist to Th2 cytokines in combination with antiviral agents or immune-enhancing agents. In one aspect of the invention, the agonist or antagonist is a receptor to interleukin-4 (or

mutein receptor) which is administered in combination with an antiviral agent. Preferred antiviral/immune-enhancing agents include (a) compds. having a steroid skeleton (e.g. dehydroepiandosterone), and metabolites, analogs and precursors thereof, and pharmaceutically acceptable salts of any such compds., metabolites, analogs and precursors; (b) protease inhibitors; and (c) reverse transcriptase inhibitors. Also described is a method of enhancing viral replication as a means of exposing latent infection by the administration of an agonist or antagonist to a Th2 cytokine. Further provided are such methods comprising administering to a patient at least one Th2 cytokine and at least one agonist and/or at least one antagonist to said Th2 cytokine. There are also provided compns. and kits for use in such methods, as well as the use of such compds. in the manufacture of medicaments for treatment for various conditions.

L67 ANSWER 13 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:383906 HCAPLUS
DOCUMENT NUMBER: 133:22443
TITLE: 17-Ketosteroids and derivatives, metabolites and precursors in the treatment of hepatitis C virus and other togaviruses
INVENTOR(S): Ahlem, Clarence Nathaniel; **Francke, James Martin**; **Prendergast, Patrick T.**
PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, Inc., USA; Colthurst Ltd
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032177	A2	20000608	WO 1999-US28082	19991124
WO 2000032177	A3	20010322		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9915644	A	20010807	BR 1999-15644	19991124
EP 1133287	A2	20010919	EP 1999-965050	19991124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ZA 2001006980	A	20030123	ZA 2001-6980	20010823

PRIORITY APPLN. INFO.:
US 1998-109924P P 19981124
US 1999-124087P P 19990311
US 1999-126056P P 19990323
WO 1999-US28082 W 19991124

OTHER SOURCE(S): MARPAT 133:22443

AB The invention provides the use of 17-ketosteroids, as well as derivs., metabolites and precursors of such compds., and their pharmaceutically acceptable salts, in the treatment of prevention of hepatitis C type virus and/or hepatitis G type virus in patients in need of such treatment. In addition, the invention provides methods to treat or prevent togavirus infections, including infections by 1 or more alphaviruses, flaviviruses, such as yellow fever virus, hepatitis C virus and hepatitis G virus,

rubella viruses, or pestiviruses, such as bovine virus diarrhea virus. In addition, the invention provides combination therapies including administration of one or more compound of the present invention, as defined herein, and administration of one or more compound selected from plasma concentration-enhancing compds., macrophage stimulating factor, oxidation agents, ribavirin and alpha-interferon, and/or oxygen ventilation. The compds. of the present invention may also be used to ameliorate or reduce 1 or more symptoms associated with a togavirus infection. Two lots of a non-aqueous formulation was made at a 16a-bromoepiandrosterone concentration of 50 mg/mL in 25% polyethylene glycol 300, 12.5% dehydrated EtOH, 5% benzyl benzoate, and 57.5% propylene glycol.

L67 ANSWER 14 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:383904 HCAPLUS
DOCUMENT NUMBER: 133:34421
TITLE: Use of 17-ketosteroid compounds and derivatives, metabolites, and precursors thereof in treatment of toxoplasmosis and cryptosporidiosis
INVENTOR(S): Ahlem, Clarence Nathaniel; **Frincke, James Martin; Prendergast, Patrick T.**; Thadikonda, Krupakar Paul
PATENT ASSIGNEE(S): Hollis-Eden Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032176	A2	20000608	WO 1999-US28080	19991124
WO 2000032176	A3	20001207		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 2001003845	A	20020513	ZA 2001-3845	20010511
ZA 2001006980	A	20030123	ZA 2001-6980	20010823

PRIORITY APPLN. INFO.: US 1998-110127P P 19981127
US 1999-124087P P 19990311
US 1999-126056P P 19990323
OTHER SOURCE(S): MARPAT 133:34421
AB 17-Keto steroids and related compds., e.g. 16α-bromoepiandrosterone (I), and their pharmaceutically acceptable salts are used to treat infections with Toxoplasma or Cryptosporidium and to ameliorate or reduce symptoms associated with such infections. Thus, a suspension was prepared containing 50 mg I/mL in PEG-300 25, EtOH 12.5, benzyl benzoate 5, and propylene glycol 5%. I.v. administration of the steroids is preferred. The keto steroids may also be used to treat, or to ameliorate symptoms associated with, retroviral infections or malaria in humans.

L67 ANSWER 15 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:684497 HCAPLUS

DOCUMENT NUMBER: 131:332293
TITLE: Suppression of Δ5-androstenediol-induced androgen receptor transactivation by selective **steroids** in human prostate cancer cells
AUTHOR(S): Chang, Hong-Chiang; Miyamoto, Hiroshi; **Marwah, Padma**; **Lardy, Henry**; Yeh, Shuyuan; Huang, Ko-En; Chang, Chawnshang
CORPORATE SOURCE: George Whipple Laboratory for Cancer Research, Departments of Pathology, Urology, Radiation Oncology, and the Cancer Center, University of Rochester Medical Center, Rochester, NY, 14642, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1999), 96(20), 11173-11177
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors' earlier report suggested that androst-5-ene-3β,7β-diol (Δ5-androstenediol or Adiol) is a natural hormone with androgenic activity and that two potent anti-androgens, hydroxyflutamide (Eulexin) and bicalutamide (Casodex), fail to block completely the Adiol-induced androgen receptor (AR) transactivation in prostate cancer cells. Here, the authors report the development of a reporter assay to screen several selective steroids with anti-Adiol activity. Among 22 derivs./metabolites of dehydroepiandrosterone, the authors found 4 steroids [number 4, 1,3,5(10)-estratriene-17α-ethynyl-3,17β-diol; number 6, 17α-ethynyl-androstene-diol; number 8, 3β,17β-dihydroxy-androst-5-ene-16-one; and number 10, 3β-methylcarbonate-androst-5-ene-7,17-dione] that have no androgenic activity and could also block the Adiol-induced AR transactivation in prostate cancer PC-3 cells. Interestingly, these compds., in combination with hydroxyflutamide, further suppressed the Adiol-induced AR transactivation. Reporter assays further showed that these four anti-Adiol steroids have relatively lower glucocorticoid, progesterone, and estrogenic activity. Together, these data suggest some selective steroids might have anti-Adiol activity, which may have potential clin. application in the battle against the androgen-dependent prostate cancer growth.

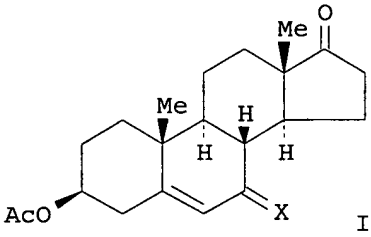
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 16 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:745075 HCAPLUS
DOCUMENT NUMBER: 129:330902
TITLE: Process for effecting allylic oxidation of allylic compounds using a combination of an alkali metal periodate and an alkyl hydroperoxide
INVENTOR(S): **Marwah, Padma**; **Lardy, Henry A.**
PATENT ASSIGNEE(S): Humanetics Corp, USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850409	A1	19981112	WO 1998-US9159	19980505

W: AU, CA, JP
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE
US 5869709 A 19990209 US 1997-851939 19970507
AU 9872869 A1 19981127 AU 1998-72869 19980505
PRIORITY APPLN. INFO.: US 1997-851939 A 19970507
WO 1998-US9159 W 19980505
OTHER SOURCE(S): CASREACT 129:330902
GI



I

AB A procedure for oxidizing organic compds. having allylic hydrogen atom(s) involving the steps of reactively contacting the organic compound with a combination of an alkali metal periodate and an alkyl hydroperoxide is characterized by that the reaction can conveniently be conducted under ambient temperature and pressure conditions, and is conveniently conducted in a cosolvent system of water and organic solvent(s). Thus, 3β-acetoxyandrost-5-en-17-one (I; X = H,H) was dissolved in a mixture of acetone and petroleum ether containing aqueous tert-Bu hydroperoxide; sodium periodate in water was then added; after stirring for 20 - 24 h, 3β-acetoxyandrost-5-ene-7,17-dione (I; X = O) was isolated.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 17 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:663745 HCAPLUS
DOCUMENT NUMBER: 130:25222
TITLE: Ergosteroids III. Syntheses and biological activity of seco-**steroids** related to dehydroepiandrosterone
AUTHOR(S): Reich, Ieva L.; **Lardy, Henry**; Wei, Yong; **Marwah, Padma**; Kneer, Nancy; Powell, Douglas R.; Reich, Hans J.
CORPORATE SOURCE: Institute for Enzyme Research and Department of Chemistry, University of Wisconsin-Madison, Madison, WI, 53705, USA
SOURCE: Steroids (1998), 63(10), 542-553
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The unusual activity of some D-ring-seco estrogens led us to prepare several seco steroids related to dehydroepiandrosterone (DHEA) and to test for their ability to mimic thyroid hormone and 7-oxo-DHEA as inducers of thermogenic enzymes in rats' livers. Only one, 3β-acetoxy-17a-oxa-androst-5-ene-7,17-dione, was capable of inducing both mitochondrial glycerophosphate dehydrogenase and malic enzyme. The closely related 3β-hydroxy-17a-oxa-androsta-5,15-diene-7,17-diones induce the

formation of malic enzyme but not of glycerophosphate dehydrogenase. The 3β-propionyl ester of the above 14α steroid was not active, presumably because it was not deacylated in vivo. The 16,17 dicarboxylic acid produced by opening the D-ring also induced the formation of malic enzyme but not of glycerophosphate dehydrogenase. 3β-Acetoxyandrost-5-ene-7,16,17-trione, an intermediate in the synthesis of D-ring seco compds. enhanced the formation of both enzymes. Twelve other D-ring seco compds. were not active. Seco androstanes oxygenated at position 7 and with expanded A or B rings were not active.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 18 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:225218 HCAPLUS
DOCUMENT NUMBER: 129:16274
TITLE: Ergosteroids II: biologically active metabolites and synthetic derivatives of dehydroepiandrosterone
AUTHOR(S): **Lardy, Henry**; Kneer, Nancy; Wei, Yong; Partridge, Bruce; **Marwah, Padma**
CORPORATE SOURCE: Institute for Enzyme Research, University of Wisconsin, Madison, WI, 53705-4098, USA
SOURCE: Steroids (1998), 63(3), 158-165
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An improved procedure for the synthesis of 3β-hydroxyandrost-5-ene-7,17-dione, a natural metabolite of dehydroepiandrosterone (DHEA) is described. The synthesis and magnetic resonance spectra of several other related steroids are presented. Feeding dehydroepiandrosterone to rats induces enhanced formation of several liver enzymes among which are mitochondrial sn-glycerol 3-phosphate dehydrogenase (GPDH) and cytosolic malic enzyme. The induction of these two enzymes, that complete a thermogenic system in rat liver, was used as an assay to search for derivs. of DHEA that might be more active than the parent steroid. Activity is retained in steroids that are reduced to the corresponding 17β-hydroxy derivative, or hydroxylated at 7α or 7β, and is considerably enhanced when the 17-hydroxy or 17-carbonyl steroid is converted to the 7-oxo derivative Several derivs. of DHEA did not induce the thermogenic enzymes whereas the corresponding 7-oxo compds. did. Both short and long chain acyl esters of DHEA and of 7-oxo-DHEA are active inducers of the liver enzymes when fed to rats. 7-Oxo-DHEA-3-sulfate is as active as 7-oxo-DHEA or its 3-acetyl ester, whereas DHEA-3-sulfate is much less active than DHEA. Among many steroids tested, those possessing a carbonyl group at position 3, a Me group at 7, a hydroxyl group at positions 1, 2, 4, 11, or 19, or a saturated B ring, with or without a 4-5 double bond, were inactive.

REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L67 ANSWER 19 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:180782 HCAPLUS
DOCUMENT NUMBER: 128:256389
TITLE: Immune direction therapy
INVENTOR(S): **Prendergast, Patrick T.**
PATENT ASSIGNEE(S): Prendergast, Patrick T., Ire.
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9810787	A2	19980319	WO 1997-IB1086	19970910
WO 9810787	A3	19980730		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9741320	A1	19980402	AU 1997-41320	19970910
EP 929568	A2	19990721	EP 1997-939105	19970910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1230195	A	19990929	CN 1997-197816	19970910
JP 2001503613	T2	20010321	JP 1998-513435	19970910
NZ 335039	A	20010427	NZ 1997-335039	19970910
SE 9900812	A	19990308	SE 1999-812	19990308

PRIORITY APPLN. INFO.: US 1996-25180P P 19960911
WO 1997-IB1086 W 19970910

AB Herein is described a specific amino acid sequence which exhibits specific ion (bridge) pair arrays enclosed on at least one side by non polar hydrophobic transmembrane segments, as a mechanism used by many infectious agents and a number of cytokine inhibitory factors, such as interleukin 10 and prolactin inhibitory factor and alpha-fetoprotein, to not only undermine the hosts immune defences but to also allow for the infection of target lymphoid tissue. It has been demonstrated that certain vaccines, when inoculated into a host, produced a range of neutralizing antibodies but failed to prevent infection when that host is later challenged with live infectious organism. This present patent illustrates that when such vaccine inoculation is coupled with passive immunization with mono or polyclonal antibodies to these specific amino acid sequences as specified herein that the host is then capable of overcoming the infectious challenge. Herein is described the therapeutic use of mono or polyclonal antibodies to these said specific sequences as a treatment for acquired immune deficiency syndrome (AIDS) and other disease states that persist due to the presence of a cytokine inhibitory factor of viral, fungal, bacterial or host origin such as chronic fatigue syndrome where interleukin 10 mimic mols. are responsible for a multitude of disease symptoms identified as indicative of myalgic encephalitis. Herein is described the therapeutic use of mono or polyclonal antibodies to these specific amino acid sequences as a combination therapy with vaccines and anti-viral agents to prevent side effects from certain immune modulation and anti-viral agents (e.g. DHEA and IL-12) which cause enhanced production of Interleukin 10 or AFP mimic mols. during therapy. Also herein is described the therapeutic use of these specific sequences either isolated from the organism source or produced by direct synthesis or recombinant protein synthesis. These peptides when administered to a patient suffering from an autoimmune disease, such as multiple sclerosis (MS), lupus (systemic lupus erythematosus) or diabetes or rheumatoid arthritis as limited examples or to transplant organ recipients, will allow the patient's immune state to be shifted to a Th2 antibody dependent immune response and curtail the Th1 (T cell dependent) immune attack which is evident in such immune malfunctions as MS and graft vs. host disease. Certain dermatol. conditions which are today treated by the use of

corticosteroid creams and ointment may also be successfully treated by replacing the corticosteroid with these mimic immunosuppressive AFP/interleukin 10 sequences outlined in this patent.

L67 ANSWER 20 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:696638 HCAPLUS
DOCUMENT NUMBER: 128:727
TITLE: DHEA combination therapy with interleukin antibodies for antiviral, antibacterial, antimycoplasmal, or anti-intracellular parasite therapy
INVENTOR(S): **Prendergast, Patrick T.**
PATENT ASSIGNEE(S): Prendergast, Patrick T., Ire.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9738695	A1	19971023	WO 1997-IB414	19970417
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2251733	AA	19971023	CA 1997-2251733	19970417
AU 9725741	A1	19971107	AU 1997-25741	19970417
AU 734807	B2	20010621		
EP 901375	A1	19990317	EP 1997-917365	19970417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1216470	A	19990512	CN 1997-193912	19970417
JP 2000508654	T2	20000711	JP 1997-536909	19970417
WO 9847516	A1	19981029	WO 1997-EP5716	19971016
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9852219	A1	19981113	AU 1998-52219	19971016
NO 9804851	A	19981217	NO 1998-4851	19981016
KR 2000005539	A	20000125	KR 1998-708339	19981017

PRIORITY APPLN. INFO.: US 1996-15695P P 19960417
WO 1997-IB414 W 19970417
WO 1997-EP5716 W 19971016

OTHER SOURCE(S): MARPAT 128:727

AB There are provided medicaments, methods of making them, and kits, which include (1) a 17-ketosteroid compound and/or (2) anti-serum either poly- or monoclonal to Interleukin 10, Interleukin 2, or Interleukin 12, or with any compound which can effectively inhibit synthesis or the biol. function of Interleukin 10, Interleukin 12, or Interleukin 2, or with an Interleukin 10, Interleukin 12, or Interleukin 2 receptor mol.-blocking

agent, or with anti-serum, either polyclonal or monoclonal to human α-fetoprotein. There are also provided methods of treatment involving such compds. or combinations of compds., including enhancing Th1 immune protective responses when using the 17-ketosteroid compound as an anti-viral, anti-bacterial, anti-mycoplasm or anti-intracellular parasitic agent.

L67 ANSWER 21 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:526532 HCAPLUS
DOCUMENT NUMBER: 125:222248
TITLE: **Steroidal** allylic fluorination using diethylaminosulfur trifluoride: a convenient method for the synthesis of 3β-acetoxy-7α- and 7β-fluoroandrost-5-en-17-one
AUTHOR(S): **Marwah, Padma**; Thoden, James B.; Powell, Douglas R.; **Lardy, Henry A.**
CORPORATE SOURCE: Inst. Enzyme Res., Univ. Wisconsin-Madison, Madison, WI, USA
SOURCE: Steroids (1996), 61(8), 453-460
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:222248
AB Findings regarding fluorination of the diastereomeric 3β-acetoxy-7-hydroxyandrost-5-en-17-ones at the allylic 7-hydroxyl group using diethylaminosulfur trifluoride under various exptl. conditions are discussed. The reaction led to the formation of allylic 7α- and 7β-fluoro derivs., contaminated with small amts. of 3β-acetoxy-5α-fluoroandrost-6-en-17-one, the rearrangement product, and 3β-acetoxyandrosta-4,6-dien-17-one, the elimination product. However, synthesis of 3β-acetoxy-7α-fluoroandrost-5-en-17-one and 3β-acetoxy-7β-fluoroandrost-5-en-17-one has been achieved in high isomeric purity by careful manipulation of the exptl. conditions. Also included herein is a convenient chemical synthesis of pure 3β-acetoxy-7α-hydroxyandrost-5-en-17-one and 3β-acetoxy-7β-hydroxyandrost-5-en-17-one, the starting materials for the present fluorination reaction. The structure of a degradation product, 3β-acetoxy-5α-hydroxyandrost-6-en-17-one, has been established by X-ray diffraction anal. to ascertain unambiguously its absolute configuration.

L67 ANSWER 22 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:219211 HCAPLUS
TITLE: **Steroidal** allylic fluorination using dast.
AUTHOR(S): **Marwah, Padma**; **Lardy, Henry**
CORPORATE SOURCE: Enzyme Institute, University Wisconsin, Madison, WI, 53705, USA
SOURCE: Book of Abstracts, 211th ACS National Meeting, New Orleans, LA, March 24-28 (1996), FLUO-020. American Chemical Society: Washington, D. C.
CODEN: 62PIAJ
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB During course of our investigations in developing more active derivs. of dehydroepiandrosterone (DHEA) we became interested in the synthesis of isomeric 3β-acetoxy-7-fluoro-androst-5-en-17-one. This work discusses our findings regarding fluorination of the 3β-acetoxy-7-hydroxy-androst-5-en-17-one at the allylic 7-hydroxyl group using diethylaminosulfur trifluoride (DAST) under various exptl. conditions.

The reaction led to the formation of 7α and 7β fluoro derivs. contaminated with small amts. of 3β-acetoxy-5α-fluoro-androst-6-en-17-one, the rearrangement product and 3β-acetoxy-androst-4,6-dien-17-one, the elimination product. However, synthesis of 3β-acetoxy-7α-fluoro-androst-5-en-17-one and 3β-acetoxy-7β-fluoro-androst-5-en-17-one has been achieved in high isomeric purity (> 98%) by careful manipulation of the exptl. conditions. Also included herein is a convenient chemical synthetic route for the synthesis of pure 3β-acetoxy-7α-hydroxy-androst-5-en-17-one and 3β-acetoxy-7β-hydroxy-androst-5-en-17-one, the starting materials for the present fluorination reaction.

L67 ANSWER 23 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:677022 HCAPLUS
DOCUMENT NUMBER: 123:75084
TITLE: Ergosteroids: induction of thermogenic enzymes in liver of rats treated with **steroids** derived from dehydroepiandrosterone
AUTHOR(S): **Lardy, Henry**; Partridge, Bruce; Kneer, Nancy; Wei, Yong
CORPORATE SOURCE: Inst. Enzyme Res., Univ. Wisconsin, Madison, WI, 53705, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1995), 92(14), 6617-19
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Dehydroepiandrosterone (DHEA), an intermediate in the biosynthesis of testosterone and estrogens, exerts several physiol. effects not involving the sex hormones. When fed to rats it induces the thermogenic enzymes mitochondrial sn-glycerol-3-phosphate dehydrogenase and cytosolic malic enzyme in their livers. Animals and humans, and their excised tissues, are known to hydroxylate DHEA at several positions and to interconvert 7α-hydroxy-DHEA, 7β-hydroxy-DHEA, 7-oxo-DHEA, and the corresponding derivs. of androst-5-enediol. The authors report here that these 7-oxygenated derivs. are active inducers of these thermogenic enzymes in rats and that the 7-oxo derivs. are more active than the parent steroids. The authors postulate that the 7α-hydroxy and 7-oxo derivs. are on a metabolic pathway from DHEA to more active steroid hormones. These 7-oxo steroids have potential as therapeutic agents because of their increased activity and because they are not convertible to either testosterone or estrogens.

L67 ANSWER 24 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:582455 HCAPLUS
DOCUMENT NUMBER: 122:322644
TITLE: Purity, assay and resolution from impurities of IDPH-8261, a new non-**steroidal** antiinflammatory agent, using normal phase high performance liquid chromatography
AUTHOR(S): **Marwah, A. K.**; **Marwah, Padma**; Rao, G. Shankar; Srinivas, J. S.; Rao, B. E.; Raghuveer, S.
CORPORATE SOURCE: Res. Cent., Indian Drugs Pharm. Ltd., Hyderabad, 500 037, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1995), 34B(6), 557-9
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: Publications & Information Directorate, CSIR

DOCUMENT TYPE: Journal
LANGUAGE: English
AB A simple, precise and accurate method for the purity and assay of IDPH-8261, a new non-steroidal antiinflammatory agent, using high performance liquid chromatog. is described herein. IDPH-8261 has been resolved from its intermediates and likely impurities by phase isocratic HPLC using μ-Porasil and μ-Bondapack-CN columns. The method can be applied to pharmaceutical quality control.

L67 ANSWER 25 OF 25 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1957:101468 HCAPLUS
DOCUMENT NUMBER: 51:101468
ORIGINAL REFERENCE NO.: 51:18343e-g
TITLE: Effect of certain **steroids** on metabolic rate of hyperthyroid rats
AUTHOR(S): Doisy, R. J.; **Lardy, H. A.**
CORPORATE SOURCE: Univ. of Wisconsin, Madison
SOURCE: Am. J. Physiol. (1957), 190, 142-6
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The effects of certain steroids on the elevated basal metabolic rate (BMR) associated with thyrotoxicosis were studied. Under the exptl. conditions the adrenal cortical hormones had no effect, whereas large doses of estrogenic hormones caused marked depressions of the elevated BMR of hyperthyroid male rats. This antagonism of the calorigenic action of the thyroid hormones was shown also in adrenalectomized and adrenalectomized-thyroidectomized rats. It would appear that this antagonism between the estrogenic and thyroid hormones is not mediated via the pituitary, adrenal, or thyroid glands.

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L50 28 SEA FILE=BIOSIS ABB=ON PLU=ON ("AHLEM C"/AU OR "AHLEM C N"/AU) OR ("AHLEM CLARENCE"/AU OR "AHLEM CLARENCE N"/AU OR "AHLEM CLARENCE NATHANIEL"/AU)
L51 231 SEA FILE=BIOSIS ABB=ON PLU=ON ("READING C"/AU OR "READING C A"/AU OR "READING C C"/AU OR "READING C J"/AU OR "READING C L"/AU OR "READING C M"/AU OR "READING C R"/AU) OR ("READING CHRIS"/AU OR "READING CHRIS C"/AU OR "READING CHRIS L"/AU OR "READING CHRIS M"/AU OR "READING CHRISTOPHER"/AU OR "READING CHRISTOPHER L"/AU OR "READING CHRISTOPHER R"/AU)
L52 67 SEA FILE=BIOSIS ABB=ON PLU=ON ("FRINCKE J"/AU OR "FRINCKE J H"/AU OR "FRINCKE J M"/AU OR "FRINCKE JAMES"/AU OR "FRINCKE JAMES M"/AU)
L53 43 SEA FILE=BIOSIS ABB=ON PLU=ON ("STICKNEY D G"/AU OR "STICKNEY D R"/AU) OR ("STICKNEY DWIGHT"/AU OR "STICKNEY DWIGHT R"/AU)
L54 312 SEA FILE=BIOSIS ABB=ON PLU=ON ("LARDY H"/AU OR "LARDY H A"/AU OR "LARDY H S"/AU OR "LARDY HENRY"/AU OR "LARDY HENRY A"/AU)
L55 17 SEA FILE=BIOSIS ABB=ON PLU=ON ("MARWAH A"/AU OR "MARWAH A K"/AU OR "MARWAH ASHOK"/AU OR "MARWAH ASHOK K"/AU)
L56 23 SEA FILE=BIOSIS ABB=ON PLU=ON ("MARWAH P"/AU OR "MARWAH P K"/AU OR "MARWAH PADMA"/AU)
L57 38 SEA FILE=BIOSIS ABB=ON PLU=ON ("PRENDERGAST P"/AU OR "PRENDERGAST P J"/AU OR "PRENDERGAST P R"/AU OR "PRENDERGAST P T"/AU OR "PRENDERGAST PATRICK J"/AU OR "PRENDERGAST PATRICK T"/AU)
L58 697 SEA FILE=BIOSIS ABB=ON PLU=ON (L50 OR L51 OR L52 OR L53 OR L54 OR L55 OR L56 OR L57)
L61 28 SEA FILE=BIOSIS ABB=ON PLU=ON L58 AND ?STEROID?

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L63             5 SEA FILE=BIOSIS ABB=ON  PLU=ON  L61 AND (?CONGRESS? OR CONG#
                  OR ?CONFERENCE? OR CONF OR ?POSTER? OR POST OR ?SYMPOS? OR
                  SYMP OR SYM OR ?MEET? OR MTG OR ?FORUM? OR FOR OR FORA OR
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L64             7 SEA FILE=BIOSIS ABB=ON  PLU=ON  L61 AND (?WORKSHOP? OR WKSP OR
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                  OR ABST OR ABSTR OR ?REVIEW? OR REV)/DT,SO,ST,CT,CW,IT,MT,BI
L65             8 SEA FILE=BIOSIS ABB=ON  PLU=ON  (L62 OR L63 OR L64)

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YOU HAVE REQUESTED DATA FROM 8 ANSWERS - CONTINUE? Y/(N):y

L65  ANSWER 1 OF 8  BIOSIS  COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER:   2004:72855  BIOSIS
DOCUMENT NUMBER:    PREV200400072000
TITLE:              Non-toxic steroids limit Th1 or Th2 biased
                    inflammation: Metabolites and synthetic derivatives of
                    dehydroepiandrosterone (DHEA) may address the most
                    challenging unmet medical needs of our time.
AUTHOR(S):          Reading, Christopher L. [Reprint Author];
                    Stickney, Dwight [Reprint Author]; Trauger, Richard
                    [Reprint Author]; Dowding, Charles [Reprint Author];
                    Ahlem, Clarence [Reprint Author]; Auci, Dominick L.
                    [Reprint Author]; Frincke, James M. [Reprint
                    Author]
CORPORATE SOURCE:   HollisEden Pharmaceuticals, 4435 Eastgate Mall, San Diego,
                    CA, 92121, USA
SOURCE:             FASEB Journal, (April 14 2003) Vol. 17, No. 7, pp. C80-C81.
                    print.
                    Meeting Info.: 90th Anniversary Annual Meeting of the
                    American Association of Immunologists. Denver, CO,
                    USA. May 06-10, 2003. American Association of
                    Immunologists.
                    ISSN: 0892-6638 (ISSN print).
DOCUMENT TYPE:      Conference; (Meeting)
                      Conference; Abstract; (Meeting Abstract)
LANGUAGE:           English
ENTRY DATE:         Entered STN: 4 Feb 2004
                    Last Updated on STN: 4 Feb 2004

L65  ANSWER 2 OF 8  BIOSIS  COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER:   2002:418336  BIOSIS
DOCUMENT NUMBER:    PREV200200418336
TITLE:              Elevated inflammation-related transcripts in HIV-infected
                    individuals are decreased after administration of
                    16-alpha-bromoepiandrosterone (HE2000): An
                    immunostimulatory steroid.
AUTHOR(S):          Reading, C. [Reprint author]; Khoury, G.; Giese,
                    T.; Frincke, J. [Reprint author]
CORPORATE SOURCE:   Hollis-Eden Pharmaceuticals, Inc., San Diego, CA, USA
SOURCE:             Journal of Leukocyte Biology Supplement, (2001) No. 2001,
                    pp. 70-71. print.
                    Meeting Info.: Joint Meeting of the Society for
                    Leukocyte Biology and the International Cytokine Society:
```

The Cytokine Odyssey 2001. Maui, HI, USA. November 08-11, 2001. Society **for** Leukocyte Biology; International Cytokine Society.
DOCUMENT TYPE: **Conference; (Meeting)**
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 7 Aug 2002
Last Updated on STN: 7 Aug 2002

L65 ANSWER 3 OF 8 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2002:151974 BIOSIS
DOCUMENT NUMBER: PREV200200151974
TITLE: Hematopoietic activity of dehydroepiandrosterone derivatives 3beta, 7beta, 17beta Androstenetriol, 3beta, 17beta Androstenediol, and 16alpha bromoepiandrosterone in mice and man.
AUTHOR(S): Dowding, Charles [Reprint author]; Richard, Brigitte [Reprint author]; **Frincke, James** [Reprint author]; **Stickney, Dwight** [Reprint author]; **Reading, Chris** [Reprint author]
CORPORATE SOURCE: Hollis-Eden Pharmaceuticals, Inc., San Diego, CA, USA
SOURCE: Blood, (November 16, 2001) Vol. 98, No. 11 Part 2, pp. 148b. print.
Meeting Info.: **43rd Annual Meeting of the American Society of Hematology, Part 2.** Orlando, Florida, USA. December 07-11, 2001. American Society of Hematology.
CODEN: BLOOAW. ISSN: 0006-4971.
DOCUMENT TYPE: **Conference; (Meeting)**
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 21 Feb 2002
Last Updated on STN: 26 Feb 2002

AB Purpose: The natural metabolites of dehydroepiandrosterone, 3beta, 7beta, 17beta Androstenetriol (AET), and 3beta, 17beta Androstenediol (AED), decrease mortality in murine models of radiation (8 Gy) induced myelosuppression (R. Loria, et al., Annals of the New York Academy of Sciences (1999):860-866, and M. Whitnall et al., Int. J. Immunopharmacology (2000) 22:1-14). To further understand the hematopoietic activity of these **immunosteroid** molecules, AET, AED, and the synthetic derivative 16alpha bromoepiandrosterone (BrEA), were administered to healthy and myelosuppressed male B6D2F1 mice. Method: The **immunosteroid** molecules were administered subcutaneously for five consecutive days (5 mg/day) with the first dose injected immediately after intraperitoneal injection of cyclophosphamide (200 mg/kg) or saline on Day 1. Absolute blood differential counts were determined on Days 1, 3, 5, 8, 9, 10 and 15. Spleen weights were recorded on Days 1, 5, 9, 12 and 15. Results: In saline-treated animals, AET increased both the absolute neutrophil and lymphocyte counts 1.7-fold on Day 8, and spleen weight 1.5-fold on Day 9, compared with vehicle-treated animals. AED and BrEA had no apparent hematopoietic effect. In cyclophosphamide-treated animals, the absolute neutrophil counts on Day 8 were increased 3.8-fold (AET), 6.6-fold (AED) and 2.4-fold (BrEA), and spleen weights on Day 9 were increased 1.3-fold (AET), 2.0-fold (AED), and 1.3-fold (BrEA), compared with vehicle-treated controls. Platelet counts were increased 1.2-fold on Day 15 (AET), 1.5-fold on Day 10 (AED), and 1.1-fold on Day 10 (BrEA). Clinical study: Anti-retroviral naive, HIV-1-infected, patients (CD4=200/muL) were treated with five sequential daily intramuscular injections of BrEA (50, 100 or 200mg). This regimen was repeated every six weeks for three treatment courses and the absolute blood WBC differential counts were determined approximately every two

weeks. For the WBC absolute differential counts, the daily average area under the curve (AUC) for the entire period was calculated. There were significant increases in the mean AUC for platelets (+7%), monocytes (+10%) and neutrophils (+11%). The average peak value after dosing was a 31% increase for platelets (range, 0.2-122%, n=37), a 60% increase for monocytes (range -12 to 189%, n=37), and a 66% increase for neutrophils (range -25 to 297%, n=37). Conclusion: The **immunosteroid** AET showed hematopoietic activity in both normal and myelosuppressed mice, whereas AED and BrEA demonstrated hematopoietic activity only in myelosuppressed mice. BrEA also increased absolute neutrophil, monocyte and platelet counts in patients with HIV-1 infection. It is possible that these molecules possess novel hematopoietic activity that may be useful in treating therapy- or infection-related myelosuppression.

L65 ANSWER 4 OF 8 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2002:72210 BIOSIS
DOCUMENT NUMBER: PREV200200072210
TITLE: High-performance liquid chromatographic analysis of dehydroepiandrosterone.
AUTHOR(S): **Marwah, Ashok; Marwah, Padma; Lardy, Henry** [Reprint author]
CORPORATE SOURCE: Institute for Enzyme Research, Department of Biochemistry, University of Wisconsin at Madison, 1710 University Avenue, Madison, WI, 53705, USA
halardy@facstaff.wisc.edu
SOURCE: Journal of Chromatography A, (23 November, 2001) Vol. 935, No. 1-2, pp. 279-296. print.
CODEN: JOCRAM. ISSN: 0021-9673.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Jan 2002
Last Updated on STN: 25 Feb 2002

AB Qualitative and quantitative analysis of dehydroepiandrosterone and its conjugates in biological matrices and establishment of their relationships with physiological functions is a very active field. This **review** article discusses methods of separation and quantification of dehydroepiandrosterone and its conjugates using high-performance liquid chromatographic techniques.

L65 ANSWER 5 OF 8 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2001:505936 BIOSIS
DOCUMENT NUMBER: PREV200100505936
TITLE: An adrenal **steroid** derivative is an immunomodulator in HIV infected individuals.
AUTHOR(S): Merigan, T. C. [Reprint author]; Gray, C. M.; **Frincke, J.; Reading, C.**
CORPORATE SOURCE: Stanford University School of Medicine, Stanford, CA, USA
SOURCE: Antiviral Research, (July, 2001) Vol. 51, No. 1, pp. 23. print.
Meeting Info.: **HIV DART 2000: Frontiers in Drug Development for Antiretrovial Therapies.** Carolina, Puerto Rico. December 17-21, 2000.
CODEN: ARSRDR. ISSN: 0166-3542.
DOCUMENT TYPE: **Conference; (Meeting)**
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 31 Oct 2001
Last Updated on STN: 23 Feb 2002

L65 ANSWER 6 OF 8 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN

ACCESSION NUMBER: 2000:542787 BIOSIS
DOCUMENT NUMBER: PREV200000542787
TITLE: Safety and pharmacokinetic study with escalating doses of 3-acetyl-7-oxo-dehydroepiandrosterone in healthy male volunteers.
AUTHOR(S): Davidson, Michael; **Marwah, Ashok**; Sawchuk, Ronald J.; Maki, Kevin; **Marwah, Padma**; Weeks, Charles; **Lardy, Henry** [Reprint author]
CORPORATE SOURCE: Institute for Enzyme Research, 1710 University Ave., Madison, WI, 53705, USA
SOURCE: Clinical and Investigative Medicine, (Octobre, 2000) Vol. 23, No. 5, pp. 300-310. print.
CODEN: CNVMDL. ISSN: 0147-958X.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 13 Dec 2000
Last Updated on STN: 11 Jan 2002

AB Objectives: To evaluate the safety and pharmacokinetics of 3-acetyl-7-oxo-DHEA (3beta-acetoxyandrost-5-ene-7,17-dione) given orally. Design: A randomized, double blind, placebo-controlled, escalating dose study. Setting: The Chicago Center for Clinical Research. Participants: Twenty-two healthy men. Study method: The participants received placebo (n = 6) or 3-acetyl-7-oxo-DHEA (n = 16) at 50 mg/d for 7 days followed by a 7-day washout; 100 mg/d for 7 days followed by a 7-day washout; and 200 mg/d for 28 days. Outcome measures: Safety parameters, evaluated at each dose level, included measurement of total testosterone, free testosterone, dihydrotestosterone, estradiol, cortisol, thyroxin and insulin levels. Analyses for 7-oxo-DHEA-3beta-sulfate (DHEA-S), the only detectable metabolic product of the administered **steroid**, were conducted on plasma drawn from all subjects at 0.25, 0.5, 1, 2, 4, 6 and 12 hours after the final 100 mg dose of 3beta-acetyl-7-oxo-DHEA. Results: There were no differences in the clinical laboratory values or in reported minor adverse experiences, between treatment and placebo groups. In general, blood hormone concentrations were unaffected by the treatment with 3beta-acetyl-7-oxo-DHEA and remained within the normal range. No changes in vital signs, blood chemistry or urinalysis occurred during treatment with 3beta-acetyl-7-oxo-DHEA compared to placebo. The administered **steroid** was not detected in the blood but was rapidly converted to 7-oxo-DHEA-S, the concentrations of which were proportional to dose. This **steroid** sulfate did not accumulate; plasma concentrations 12 hours after the 3beta-acetyl-7-oxo-DHEA dose at 7 and 28 days on the 200 mg/d dose were 15.8 and 16.3 mug/L respectively. The mean time to peak plasma level of 7-oxo-DHEA-S was 2.2 hours; the mean half life was 2.17 hours. The apparent clearance averaged 172 L/h, and the apparent mean volume of distribution was 540 L. Conclusion: These results indicate that 3beta-acetyl-7-oxo-DHEA is safe and well tolerated in normal healthy men at doses up to 200 mg/d for 4 weeks.

L65 ANSWER 7 OF 8 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 1999:505837 BIOSIS
DOCUMENT NUMBER: PREV199900505837
TITLE: Suppression of DELTA5-androstenediol-induced androgen receptor **transactivation** by selective **steroids** in human prostate cancer cells.
AUTHOR(S): Chang, Hong-Chiang; Miyamoto, Hiroshi; **Marwah, Padma**; **Lardy, Henry**; Yeh, Shuyuan; Huang, Ko-En; Chang, Chawnshang [Reprint author]
CORPORATE SOURCE: George Whipple Laboratory for Cancer Research, Department of Pathology, and the Cancer Center, University of Rochester Medical Center, Rochester, NY, 14642, USA

SOURCE: **Proceedings** of the National Academy of Sciences of the United States of America, (Sept. 28, 1999) Vol. 96, No. 20, pp. 11173-11177. print.
CODEN: PNASA6. ISSN: 0027-8424.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 23 Nov 1999
Last Updated on STN: 5 Jun 2000

AB Our earlier report suggested that androst-5-ene-3beta,7beta-diol (DELTA5-androstenediol or Adiol) is a natural hormone with androgenic activity and that two potent anti-androgens, hydroxyflutamide (Eulexin) and bicalutamide (Casodex), fail to block completely the Adiol-induced androgen receptor (AR) **transactivation** in prostate cancer cells. Here, we report the development of a reporter assay to screen several selective **steroids** with anti-Adiol activity. Among 22 derivatives/metabolites of dehydroepiandrosterone, we found 4 **steroids** (number 4, 1,3,5(10)-estratriene-17alpha-ethynyl-3,17beta-diol; number 6, 17alpha-ethynyl-androstene-diol; number 8, 3beta,17beta-dihydroxy-androst-5-ene-16-one; and number 10, 3beta-methylcarbonate-androst-5-ene-7,17-dione) that have no androgenic activity and could also block the Adiol-induced AR **transactivation** in prostate cancer PC-3 cells. Interestingly, these compounds, in combination with hydroxyflutamide, further suppressed the Adiol-induced AR **transactivation.** Reporter assays further showed that these four anti-Adiol **steroids** have relatively lower glucocorticoid, progesterone, and estrogenic activity. Together, these data suggest some selective **steroids** might have anti-Adiol activity, which may have potential clinical application in the battle against the androgen-dependent prostate cancer growth.

L65 ANSWER 8 OF 8 BIOSIS COPYRIGHT 2004 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 1995:366612 BIOSIS
DOCUMENT NUMBER: PREV199598380912
TITLE: **Ergosteroids**: Induction of thermogenic enzymes in liver of rats treated with **steroids** derived from dehydroepiandrosterone.
AUTHOR(S): **Lardy, Henry**; Partridge, Bruce; Kneer, Nancy; Wei, Yong
CORPORATE SOURCE: Inst. Enzyme Res., Univ. Wisconsin, 1710 University Avenue, Madison, WI 53705, USA
SOURCE: **Proceedings** of the National Academy of Sciences of the United States of America, (1995) Vol. 92, No. 14, pp. 6617-6619.
CODEN: PNASA6. ISSN: 0027-8424.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 30 Aug 1995
Last Updated on STN: 10 Oct 1995

AB Dehydroepiandrosterone (DHEA), an intermediate in the biosynthesis of testosterone and estrogens, exerts several physiological effects not involving the sex hormones. When fed to rats it induces the thermogenic enzymes mitochondrial sn-glycerol-3-phosphate dehydrogenase and cytosolic malic enzyme in their livers. Animals and humans, and their excised tissues, are known to hydroxylate DHEA at several positions and to interconvert 7-alpha-hydroxy-DHEA, 7-beta-hydroxy-DHEA, 7-oxo-DHEA, and the corresponding derivatives of androst-5-enediol. We report here that these 7-oxygenated derivatives are active inducers of these thermogenic enzymes in rats and that the 7-oxo derivatives are more active than the parent **steroids.** We postulate that the 7-alpha-hydroxy and 7-oxo derivatives are on a metabolic pathway from DHEA to more active

steroid hormones. These 7-oxo **steroids** have potential as therapeutic agents because of their increased activity and because they are not convertible to either testosterone or estrogens.

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L77           5 SEA 3(1W) HYDROXY(W) 17(1W) AMINOANDROST(W) 5(W) ENE

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Headings for files w/ displayed records: pp. 6-8

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     FILE 'STNGUIDE' ENTERED AT 14:15:09 ON 25 MAR 2004

     INDEX '1MOBILITY, 2MOBILITY, ABI-INFORM, ADISCTI, AEROSPACE, AGRICOLA,
     ALUMINIUM, ANABSTR, APOLLIT, AQUASCI, AQUIRE, BABS, BIBLIODATA,
     BIOBUSINESS, BIOCOMMERCE, BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO,
     BLLDB, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, ...' ENTERED AT 14:15:53
     ON 25 MAR 2004
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               2    FILE CAPLUS
               1    FILE PATOSWO
               1    FILE PCTFULL
               1    FILE TOXCENTER
L76            QUE 3(1W) HYDROXY(W) 17(1W) AMINOANDROST(W) 5(W) ENE
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     FILE 'CAPLUS, PATOSWO, PCTFULL, TOXCENTER' ENTERED AT 14:19:33 ON 25 MAR
     2004
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               SAVE TEMP L77 SPE929IND1/A

=> d l77 ibib ab 1

L77  ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2004:203677  CAPLUS
TITLE:                    Immunostimulatory methods and compositions with
                          androgen derivatives and other therapeutic uses
INVENTOR(S):              Reading, Christopher; Ahlem, Clarence N.; Auci,
                          Dominick L.; Dowding, Charles; Frincke, James; Li,
                          Mei; Page, Theodore M.; Trauger, Richard J.; Stickney,
                          Dwight R.; White, Steven K.
PATENT ASSIGNEE(S):       Hollis-Eden Pharmaceuticals, Inc., USA
SOURCE:                   PCT Int. Appl., 380 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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     WO 2004019953      A1   20040311       WO 2003-US27186  20030828
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
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GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2002-407146P P 20020828
US 2002-408332P P 20020904
US 2003-479257P P 20030617
AB The invention relates to the use of compds. to ameliorate or treat conditions such as a cystic fibrosis, neutropenia or other exemplified conditions. Exemplary compds. that can be used include **3**.beta.-**hydroxy-17**.beta.-**aminoandrost-5-ene**, 3β-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3α-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3β-hydroxy-16β-fluoro-17β-aminoandrost-5-ene, 1α,3β-dihydroxy-4α-fluoroandrost-5-ene-17-one, 1α,3β, 17β-trihydroxy-4α-fluorandrost-5-ene, 1β,3β-dihydroxy-6α-bromoandrost-5-ene, 1α-fluoro-3β,12α-dihydroxyandrost-5-ene-17-one, 1α-fluoro-3β,4α-dihydroxyandrost-5-ene and 4α-fluoro-3β,6α, 17β-trihydroxyandrostante.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l77 ibib ab 2

L77 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:415109 CAPLUS
DOCUMENT NUMBER: 73:15109
TITLE: Antiacne 17-acylaminoandrostanes
INVENTOR(S): Arth, Glen E.; Sarett, Lewis H.; Patchett, Arthur A.
PATENT ASSIGNEE(S): Merck and Co., Inc.
SOURCE: Brit., 4 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1188414		19700415		
DE 1667896			DE	
FR 1580878			FR	

PRIORITY APPLN. INFO.: US 19670306
AB The title compns., which lower the biosynthesis of testicular androgens, are prepared from pregnenolone 3-acetate. Thus, 54 g NH2OH.HCl and 120 g NaOAc.3H2O in 200 ml H2O was added to 110 g pregnenolone 3-acetate in 2.6 l. MeOH to give 119 g 3-acetoxy-20-(hydroxyimino)preg-5-ene, m. 195° (I). To I in 200 ml pyridine, 100 ml POCl3 in 200 ml pyridine was added to give 100 g 3-acetoxy-17-acetamidoandrost-5-ene (II). A mixture of 75 g II, 75 g KHCO3, 1250 ml H2O, and 2.25 l. EtOH was heated under reflux to give 3-hydroxy-17-acetamidoandrost-5-ene, m. 268-71°. Also prepared were 17-acetamidoandrost-4-en-3-one; **3-hydroxy-17-aminoandrost-5-ene**-HCl; 3-formyloxy-17-formamidoandrost-5-ene, and 17-acetamidoandrosta-1,4-dien-3-one, m. 270-2°.

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L77 ANSWER 3 OF 5 PATOSWO COPYRIGHT 2004 WILA on STN
AN 2004:404728 PATOSWO ED 20040312 EW 200411 FS OS
TI THERAPEUTIC TREATMENT METHODS.
IN READING, Christopher, P.O. Box 12511, San Diego, CA 92122, US;
AHLEM, Clarence, N., 8960 Montrose Way, San Diego, CA 92122, US;
AUCI, Dominick, L., 8775 Coste Verde Boulevard, Apartment 416, San Diego, CA 92122, US;
DOWDING, Charles, 3724 Catamarca Drive, San Diego, CA 92124, US;
FRINCKE, James, P.O. Box 927420, San Diego, CA 92192, US;
LI, Mei, 11361 Ironwood Road, San Diego, CA 92131, US;
PAGE, Theodore, M., 1045 Lighthouse Road, Carlsbad, CA 93009, US;
TRAUGER, Richard, J., 311 Sanford Road, Leucadia, CA 92024, US;
STICKNEY, Dwight, R., 5725 Ashby Lane, Granite Bay, CA 95746, US;
WHITE, Steven, K., 13619 Calderon Road, San Diego, CA 92129, US
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READING, Christopher, P.O. Box 12511, San Diego, CA 92122, US (only US);
AHLEM, Clarence, N., 8960 Montrose Way, San Diego, CA 92122, US (only US);
AUCI, Dominick, L., 8775 Coste Verde Boulevard, Apartment 416, San Diego, CA 92122, US (only US);
DOWDING, Charles, 3724 Catamarca Drive, San Diego, CA 92124, US (only US);
FRINCKE, James, P.O. Box 927420, San Diego, CA 92192, US (only US);
LI, Mei, 11361 Ironwood Road, San Diego, CA 92131, US (only US);
PAGE, Theodore, M., 1045 Lighthouse Road, Carlsbad, CA 93009, US (only US);
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STICKNEY, Dwight, R., 5725 Ashby Lane, Granite Bay, CA 95746, US (only US);
WHITE, Steven, K., 13619 Calderon Road, San Diego, CA 92129, US (only US
AG MUENCHAU, Daryl et al., Hollis-Eden Pharmaceuticals, Inc., Suite 400, 4435 Eastgate Mall, San Diego, CA 92121, US
SO Wila-IPA-2004-H11-T1
DT Patent
LA Application in English
DS W AE; W AG; W AL; W AM; W AT; W AU; W AZ; W BA; W BB; W BG; W BR; W BY; W BZ; W CA; W CH; W CN; W CO; W CR; W CU; W CZ; W DE; W DK; W DM; W DZ; W EC; W EE; W ES; W FI; W GB; W GD; W GE; W GH; W GM; W HR; W HU; W ID; W IL; W IN; W IS; W JP; W KE; W KG; W KP; W KR; W KZ; W LC; W LK; W LR; W LS; W LT; W LU; W LV; W MA; W MD; W MG; W MK; W MN; W MW; W MX; W MZ; W NO; W NZ; W OM; W PH; W PL; W PT; W RO; W RU; W SD; W SE; W SG; W SK; W SL; W TJ; W TM; W TN; W TR; W TT; W TZ; W UA; W UG; W US; W UZ; W VC; W VN; W YU; W ZA; W ZM; W ZW;
RW AT; RW BE; RW BG; RW CH; RW CY; RW CZ; RW DE; RW DK; RW EE; RW ES; RW FI; RW FR; RW GB; RW GR; RW HU; RW IE; RW IT; RW LU; RW MC; RW NL; RW PT; RW RO; RW SE; RW SI; RW SK; RW TR; RW AM; RW AZ; RW BY; RW KG; RW KZ; RW MD; RW RU; RW TJ; RW TM; RW GH; RW GM; RW KE; RW LS; RW MW; RW MZ; RW SD; RW SL; RW SZ; RW TZ; RW UG; RW ZM; RW ZW; RW BF; RW BJ; RW CF; RW CG; RW CI; RW CM; RW GA; RW GN; RW GQ; RW GW; RW ML; RW MR; RW NE; RW SN; RW TD; RW TG
PIT WOA1 PCT-PUBLICATION
PI WO 2004019953 A1 20040311
OD 20040311
AI WO 2003-US27186 20030828

PRAI US 2002-407146 20020828
US 2002-408332 20020904
US 2003-479257 20030617
WOA1 PCT-PUBLICATION

ABEN The invention relates to the use of compounds to ameliorate or treat an condition such as a cystic fibrosis, neutropenia or other exemplified conditions. Exemplary compounds that can be used include 3 *beta*-**hydroxy**-17*beta*-**aminoandrost**-**5-ene**, 3*beta*-hydroxy-16*alpha*-fluoro-17*beta*-aminoandrost-5-ene, 3*alpha*-hydroxy-16*alpha*-fluoro-17*beta*-aminoandrost-5-ene, 3*beta*-hydroxy-16*beta*-fluoro-17*beta*-aminoandrost-5-ene, 1*alpha*,3*beta*-dihydroxy-4*alpha*-fluoroandrost-5-ene-17-one, 1*alpha*,3*beta*, 17*beta*-trihydroxy-4*alpha*-fluorandrost-5-ene, 1*beta*,3*beta*-dihydroxy-6*alpha*-bromoandrost-5-ene, 1*alpha*-fluoro-3*beta*,12*alpha*-dihydroxyandrost-5-ene-17-one, 1*alpha*-fluoro-3*beta*,4*alpha*-dihydroxyandrost-5-ene and 4*alpha*-fluoro-3*beta*,6*alpha*, 17*beta*-trihydroxyandrostante.

=> d l77 ibib ab 4

L77 ANSWER 4 OF 5 PCTFULL COPYRIGHT 2004 Univentio on STN
ACCESSION NUMBER: 2004019953 PCTFULL ED 20040316 EW 200411
TITLE (ENGLISH): THERAPEUTIC TREATMENT METHODS
TITLE (FRENCH): PROCEDES DE TRAITEMENT THERAPEUTIQUE
INVENTOR(S): READING, Christopher, P.O. Box 12511, San Diego, CA 92122, US [US, US];
AHLEM, Clarence, N., 8960 Montrose Way, San Diego, CA 92122, US [US, US];
AUCI, Dominick, L., 8775 Coste Verde Boulevard, Apartment 416, San Diego, CA 92122, US [US, US];
DOWDING, Charles, 3724 Catamarca Drive, San Diego, CA 92124, US [GB, US];
FRINCKE, James, P.O. Box 927420, San Diego, CA 92192, US [US, US];
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PAGE, Theodore, M., 1045 Lighthouse Road, Carlsbad, CA 93009, US [US, US];
TRAUGER, Richard, J., 311 Sanford Road, Leucadia, CA 92024, US [US, US];
STICKNEY, Dwight, R., 5725 Ashby Lane, Granite Bay, CA 95746, US [US, US];
WHITE, Steven, K., 13619 Calderon Road, San Diego, CA 92129, US [US, US]
PATENT ASSIGNEE(S): HOLLIS-EDEN PHARMACEUTICALS, INC., Suite 400, 4435 Eastgate Mall, San Diego, CA 92121, US [US, US], for all designates States except US;
READING, Christopher, P.O. Box 12511, San Diego, CA 92122, US [US, US], for US only;
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AUCI, Dominick, L., 8775 Coste Verde Boulevard, Apartment 416, San Diego, CA 92122, US [US, US], for US only;
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[CN, US], for US only;
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WHITE, Steven, K., 13619 Calderon Road, San Diego, CA 92129, US [US, US], for US only

AGENT: MUENCHAU, Daryl$, Hollis-Eden Pharmaceuticals, Inc., Suite 400, 4435 Eastgate Mall, San Diego, CA 92121$, US

LANGUAGE OF FILING: English
LANGUAGE OF PUBL.: English
DOCUMENT TYPE: Patent
PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2004019953	A1	20040311

DESIGNATED STATES

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW

RW (ARIPO): GH GM KE LS MW MZ SD SL SZ TZ UG ZM ZW

RW (EAPO): AM AZ BY KG KZ MD RU TJ TM

RW (EPO): AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU MC NL PT RO SE SI SK TR

RW (OAPI): BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2003-US27186 A 20030828
PRIORITY INFO.: US 2002-60/407,146 20020828
US 2002-60/408,332 20020904
US 2003-60/479,257 20030617

ABEN The invention relates to the use of compounds to ameliorate or treat an condition such as a cystic fibrosis, neutropenia or other exemplified conditions. Exemplary compounds that can be used include **3** β-**hydroxy**-**17**β-**aminoandrost**-**5**-**ene**, 3β-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3α-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3β-hydroxy-16β-fluoro-17β-aminoandrost-5-ene, 1α,3β-dihydroxy-4α-fluoroandrost-5-ene-17-one, 1α,3β, 17β-trihydroxy-4α-fluorandrost-5-ene, 1β,3β-dihydroxy-6α-bromoandrost-5-ene, 1α-fluoro-3β,12α-dihydroxyandrost-5-ene-17-one, 1α-fluoro-3β,4α-dihydroxyandrost-5-ene and 4α-fluoro-3β,6α, 17β-trihydroxyandrostante.

ABFR L'invention concerne l'utilisation de composes visant a ameliorer ou a traiter un etat tel que mucoviscidose, neutropenie ou d'autres etats presentes. Les composes exemplaires que l'on peut utiliser comprennent 3β-hydroxy-17β-amino-androst-5-ene, 3β-hydroxy-16α-fluoro-17β-amino-androst-5-ene, 3α-hydroxy-16α-fluoro-17β-amino-androst-5-ene, 3β-hydroxy-16β-fluoro-17β-amino-androst-5-ene, 1α,3β-dihydroxy-4α-fluoro-androst-5-ene-17-one, 1α,3β, 17β-trihydroxy-4α-fluoro-androst-5-ene, 1β,3β-dihydroxy-6α-bromo-androst-5-ene, 1α-fluoro-3β,12α-dihydroxy-androst-5-ene-17-one, 1α-fluoro-3β,4α-dihydroxy-androst-5-ene and 4α-fluoro-3β,6α, 17β-trihydroxyandrostane.

=> d l77 ibib ab 5

L77 ANSWER 5 OF 5 TOXCENTER COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:66354 TOXCENTER
COPYRIGHT: Copyright 2004 ACS
TITLE: Immunostimulatory methods and compositions with androgen derivatives and other therapeutic uses
AUTHOR(S): Reading, Christopher; Ahlem, Clarence N.; Auci, Dominick L.; Dowding, Charles; Frincke, James; Li, Mei; Page, Theodore M.; Trauger, Richard J.; Stickney, Dwight R.; White, Steven K.
CORPORATE SOURCE: ASSIGNEE: Hollis-Eden Pharmaceuticals, Inc.
PATENT INFORMATION: WO 200419953 A1 11 Mar 2004
SOURCE: (2004) PCT Int. Appl., 380 pp.
CODEN: PIXXD2.
COUNTRY: UNITED STATES
DOCUMENT TYPE: Patent
FILE SEGMENT: CAPLUS
OTHER SOURCE: CAPLUS 2004:203677
LANGUAGE: English
ENTRY DATE: Entered STN: 20040323
Last Updated on STN: 20040323

AB The invention relates to the use of compds. to ameliorate or treat conditions such as a cystic fibrosis, neutropenia or other exemplified conditions. Exemplary compds. that can be used include **3**.beta.-**hydroxy-17**.beta.-**aminoandrost-5-ene**, 3β-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3α-hydroxy-16α-fluoro-17β-aminoandrost-5-ene, 3β-hydroxy-16β-fluoro-17β-aminoandrost-5-ene, 1α,3β-dihydroxy-4α-fluoroandrost-5-ene-17-one, 1α,3β, 17β-trihydroxy-4α-fluorandrost-5-ene, 1β,3β-dihydroxy-6α-bromoandrost-5-ene, 1α-fluoro-3β,12α-dihydroxyandrost-5-ene-17-one, 1α-fluoro-3β,4α-dihydroxyandrost-5-ene and 4α-fluoro-3β,6α, 17β-trihydroxyandrostante.

files used

=> => file caplus

FILE 'CAPLUS' ENTERED AT 14:28:34 ON 25 MAR 2004
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=>
               ---------
               2   FILE CAPLUS
               1   FILE PATOSWO
               1   FILE PCTFULL
               1   FILE TOXCENTER
L76             QUE 3(1W) HYDROXY(W) 17(1W) AMINOANDROST(W) 5(W) ENE
               ---------

     FILE 'CAPLUS, PATOSWO, PCTFULL, TOXCENTER' ENTERED AT 14:19:33 ON 25 MAR
     2004
L77           5 S 3(1W)HYDROXY(W)17(1W)AMINOANDROST(W)5(W)ENE
                SAVE TEMP L77 SPE929IND1/A

     FILE 'STNGUIDE' ENTERED AT 14:25:00 ON 25 MAR 2004

     FILE 'CAPLUS' ENTERED AT 14:28:34 ON 25 MAR 2004

=> file patoswo

FILE 'PATOSWO' ENTERED AT 14:29:07 ON 25 MAR 2004
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FILE LAST UPDATED: 19 MAR 2004        <20040319/UP>


=> file

FILE 'PCTFULL' ENTERED AT 14:29:13 ON 25 MAR 2004
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FILE LAST UPDATED:          24 MAR 2004       <20040324/UP>
MOST RECENT UPDATE WEEK:        200412         <200412/EW>
FILE COVERS 1978 TO DATE

>>> As of update 01/2004 the Designated States field (DS)
    has been enhanced to accommodate additional information
    provided by WIPO pertaining to application kind for
    regional and international designated states. Due to the
    change in DS display format postprocessing the data may
    be affected but search and SDI procedures will not have
    to be adjusted.
    See HELP CHANGE for further information <<<

>>> NEW DISPLAY FIELDS LS AND LS2 (LEGAL STATUS DATA FROM
    THE INPADOC DATABASE) AVAILABLE - SEE NEWS <<<

>>> IMAGES ARE AVAILABLE ONLINE AND FOR EMAIL-PRINTS <<<

=> file toxcenter

FILE 'TOXCENTER' ENTERED AT 14:29:20 ON 25 MAR 2004
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FILE COVERS 1907 TO 23 Mar 2004 (20040323/ED)
```

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TOXCENTER has been enhanced with new files segments and search fields. See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2004 vocabulary. See http://www.nlm.nih.gov/mesh/ and http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a description of changes.

=> FIL STNGUIDE

FILE 'STNGUIDE' ENTERED AT 14:29:24 ON 25 MAR 2004

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 19, 2004 (20040319/UP).

=> log h

=> file reg

FILE 'REGISTRY' ENTERED AT 11:41:21 ON 25 MAR 2004
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6
DICTIONARY FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

Files Used

=> file caplus

FILE 'CAPLUS' ENTERED AT 11:41:28 ON 25 MAR 2004
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FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> file hcaplus

FILE 'HCAPLUS' ENTERED AT 11:41:33 ON 25 MAR 2004
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FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> file caold

FILE 'CAOLD' ENTERED AT 11:41:37 ON 25 MAR 2004
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> file toxcenter

FILE 'TOXCENTER' ENTERED AT 11:41:46 ON 25 MAR 2004
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FILE COVERS 1907 TO 23 Mar 2004 (20040323/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

TOXCENTER has been enhanced with new files segments and search fields. See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2004 vocabulary. See http://www.nlm.nih.gov/mesh/ and http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a description of changes.

=> FIL STNGUIDE

FILE 'STNGUIDE' ENTERED AT 11:41:50 ON 25 MAR 2004
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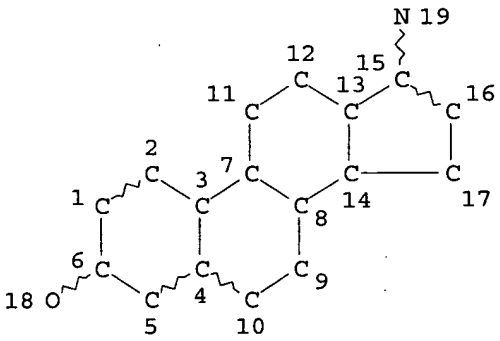
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 19, 2004 (20040319/UP).

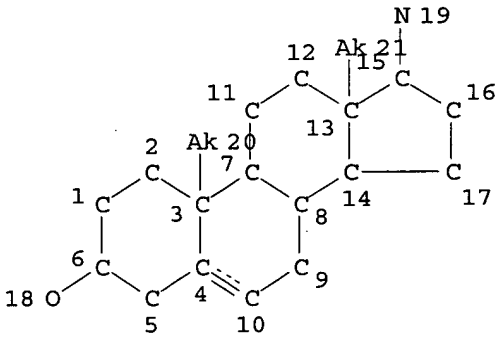
=> d que l25
L15 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
L16 2128 SEA FILE=REGISTRY SSS FUL L15
L19 STR



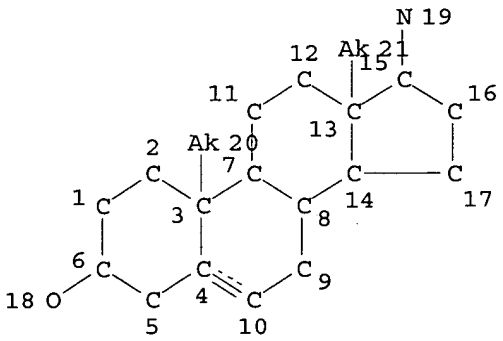
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 18
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 21

parent set

STEREO ATTRIBUTES: NONE
L20 326 SEA FILE=REGISTRY SUB=L16 SSS FUL L19
L21 STR

L21



NODE ATTRIBUTES:
CONNECT IS E1 RC AT 18
CONNECT IS E1 RC AT 19
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

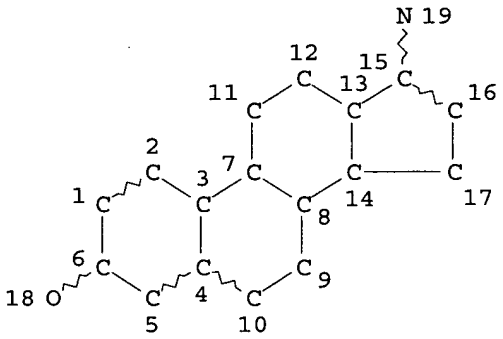
only 1 non-hydrogen connection at these nodes

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE
L22 38 SEA FILE=REGISTRY SUB=L20 SSS FUL L21
L23 5 SEA FILE=REGISTRY ABB=ON PLU=ON L22 AND C19H31NO/MF
L25 33 SEA FILE=HCAPLUS ABB=ON PLU=ON L23

molecular formula of elected species (set includes stereoisomers)

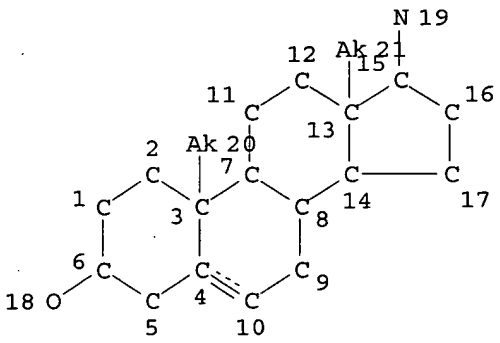
=> d que l30
L15 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

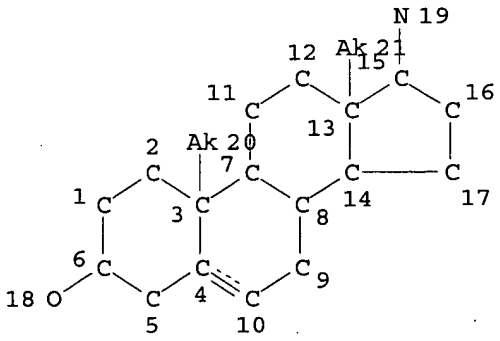
STEREO ATTRIBUTES: NONE
L16 2128 SEA FILE=REGISTRY SSS FUL L15
L19 STR



NODE ATTRIBUTES:
CONNECT IS E1 RC AT 18
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE
L20 326 SEA FILE=REGISTRY SUB=L16 SSS FUL L19
L21 STR

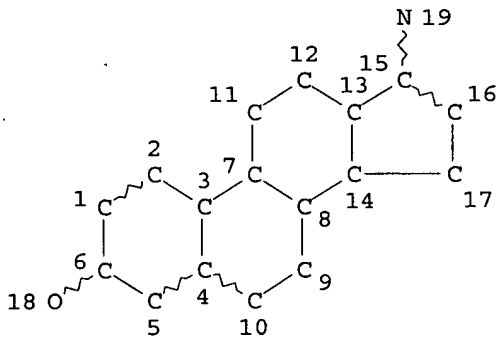


NODE ATTRIBUTES:
CONNECT IS E1 RC AT 18
CONNECT IS E1 RC AT 19
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE
L22 38 SEA FILE=REGISTRY SUB=L20 SSS FUL L21
L23 5 SEA FILE=REGISTRY ABB=ON PLU=ON L22 AND C19H31NO/MF
L30 8 SEA FILE=CAOLD ABB=ON PLU=ON L23

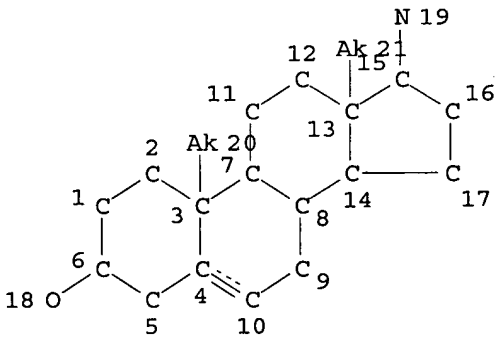
=> d que l31
L15 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

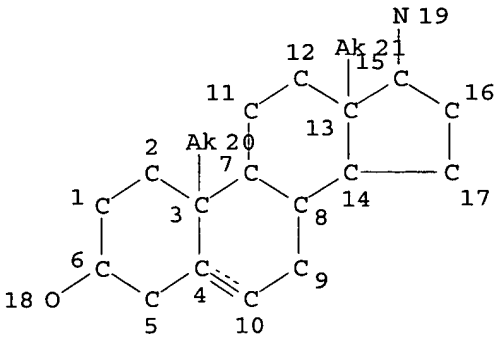
STEREO ATTRIBUTES: NONE
L16 2128 SEA FILE=REGISTRY SSS FUL L15
L19 STR



NODE ATTRIBUTES:
CONNECT IS E1 RC AT 18
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE
L20 326 SEA FILE=REGISTRY SUB=L16 SSS FUL L19
L21 STR




```
NODE ATTRIBUTES:
CONNECT IS E1  RC AT  18
CONNECT IS E1  RC AT  19
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  21

STEREO ATTRIBUTES: NONE
L22           38 SEA FILE=REGISTRY SUB=L20 SSS FUL L21
L23            5 SEA FILE=REGISTRY ABB=ON  PLU=ON  L22 AND C19H31NO/MF
L31            3 SEA FILE=TOXCENTER ABB=ON  PLU=ON  L23


=> dup rem l25 l30 l31
DUPLICATE IS NOT AVAILABLE IN 'CAOLD'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.06     674.44

FILE 'HCAPLUS' ENTERED AT 11:42:31 ON 25 MAR 2004
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FILE 'CAOLD' ENTERED AT 11:42:31 ON 25 MAR 2004
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FILE 'TOXCENTER' ENTERED AT 11:42:31 ON 25 MAR 2004
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PROCESSING COMPLETED FOR L25
PROCESSING COMPLETED FOR L30
PROCESSING COMPLETED FOR L31
L68           41 DUP REM L25 L30 L31 (3 DUPLICATES REMOVED)
                 ANSWERS '1-33' FROM FILE HCAPLUS
                 ANSWERS '34-41' FROM FILE CAOLD

=> d 168 ibib hitstr abs 1-
YOU HAVE REQUESTED DATA FROM 41 ANSWERS - CONTINUE? Y/(N):y
```

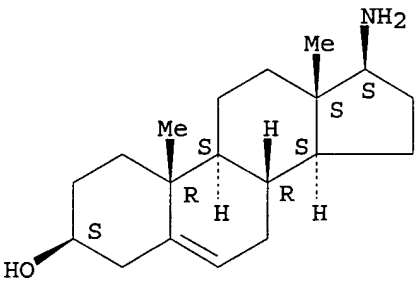
Remove duplicates

L68 ANSWER 1 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 1984:121449 HCAPLUS
DOCUMENT NUMBER: 100:121449
TITLE: Steroid nitrosoureated with oncostatic activity and its use as a medicine
INVENTOR(S): Imbach, Jean Louis; Chavis, Claude
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique, Fr.
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

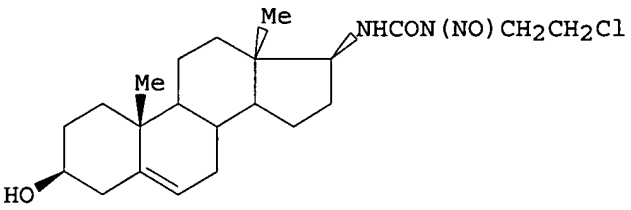
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 90736	A1	19831005	EP 1983-400629	19830325
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2523978	A1	19830930	FR 1982-5297	19820329
FR 2523978	B1	19841228		
JP 58219200	A2	19831220	JP 1983-53447	19830329

PRIORITY APPLN. INFO.: FR 1982-5297 19820329
OTHER SOURCE(S): CASREACT 100:121449
IT **4350-66-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, by nitrophenylnitrosocarbamate derivative)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

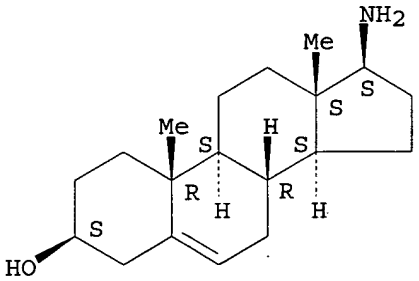


I

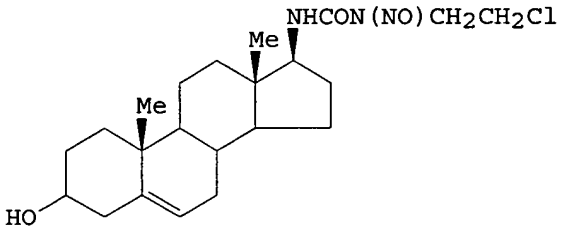
AB Treatment of 17β-aminoandrost-5-en-3β-ol with ClCH2CH2N(NO)CO2C6H4NO2-4 in pyridine gave 93% androstenylurea I, which possessed neoplasm-inhibiting activity against leukemia L-1210 with a therapeutic index greater than that of BCNU, CCNU, or chlorozotocin.

L68 ANSWER 2 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 1982:211224 HCAPLUS
DOCUMENT NUMBER: 96:211224
TITLE: New steroidal nitrosoureas
AUTHOR(S): Chavis, Claude; De Gourcy, Chantal; Borgna, Jean Louis; Imbach, Jean Louis
CORPORATE SOURCE: Lab. Chim. Bio-Org., Univ. Sci., Montpellier, 34090, Fr.
SOURCE: Steroids (1982), 39(2), 129-47
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with nitrophenyl chloroethylnitrosocarbamoate)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

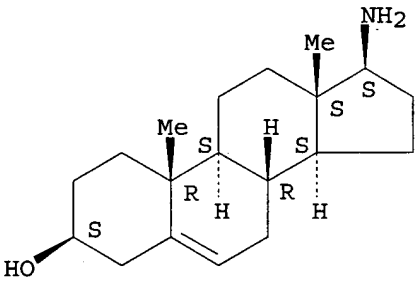


I

AB 17β- And 20-nitrosourea derivs. of the dehydroepiandrosterone, estrone, and pregnenolone series were synthesized and tested for their binding to uterine estrogen and progesterone receptors. 17β-(N'-2-chloroethyl-N'-nitrosoureyl)-5-androsten-3β-ol (I) [68642-63-7] and 17β-(N'-2-chloroethyl-N'-nitrosoureyl)-3-hydroxy-1,3,5(10)-estratrien-17α-carbonitrile [81912-66-5] had relatively high affinities for the estrogen receptor, but none of the other derivs. was bound to these receptors. Progesterone receptors did not react strongly with any of the tested steroidal nitrosoureas. Structure activity relations for binding to the estrogen receptor are discussed for these potential antitumor alkylating agents.

L68 ANSWER 3 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 1976:587179 HCAPLUS
DOCUMENT NUMBER: 85:187179
TITLE: Structure-function activity of azasterols and nitrogen-containing steroids
AUTHOR(S): Kabara, Jon J.; Holzschu, Donald L.; Catsoulacos, Demokritos P.
CORPORATE SOURCE: Dep. Biomech., Michigan State Univ., East Lansing, MI, USA
SOURCE: Lipids (1976), 11(10), 755-62
CODEN: LPDSAP; ISSN: 0024-4201
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antimicrobial activity of)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



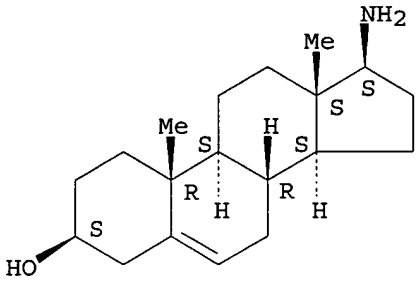
AB Thirty-nine nitrogen-containing steroids were tested against 2 gram-neg., 5 gram-pos., and 2 yeast organisms. Although low minimal inhibitory concentration
(MIC) values were recorded for sterol producing yeast, growth of bacteria which contain no sterols was also inhibited. Structure-function studies provided no relation between biol. activity and hypocholesteremic effects of these azasteroids. Amino and azasteroids may be membrane effectors which, in the case of mitochondria, lead to changes in adenosine triphosphate levels and(or) dehydrogenase activity. Their effects on sterol metabolism, therefore, may be of secondary consideration.

L68 ANSWER 4 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:855086 HCAPLUS
DOCUMENT NUMBER: 139:350880
TITLE: Preparation of antiarthritic steroids from dehydroandrostenolone
INVENTOR(S): Wyrwa, Ralf; Haertl, Albert; Braeuer, Rolf
PATENT ASSIGNEE(S): Hans-Knoell-Institut fuer Naturstoff-Forschung E.V., Germany; Friedrich-Schiller-Universitaet Jena
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

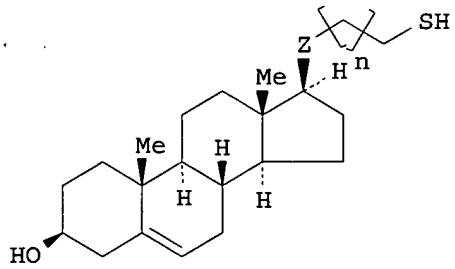
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10226311	A1	20031030	DE 2002-10226311	20020611

PRIORITY APPLN. INFO.: DE 2002-10217836 IA 20020420
OTHER SOURCE(S): MARPAT 139:350880
IT **4350-66-7**, 17β-Aminoandrost-5-en-3β-ol
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of, by alkylene monothiocarbonates; preparation of antiarthritic steroids from dehydroandrostenolone)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



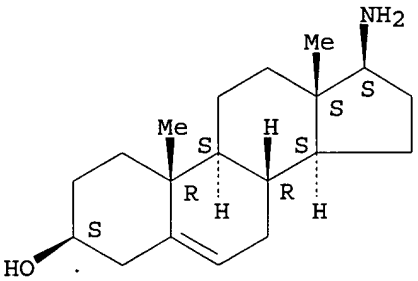
I

AB Dehydroandrostenolone (DHEA) derivs. I·(X-)b-1 [Z = HbN(b-1)+; n = 1 - 3; b = 1, 2; X = halogen (such as fluorine, chlorine or bromine), C1-4-alkanoyloxy, C1-4-perfluoroalkanoyloxy] with antioxidant activity are useful as antiarthritics. Thus, I·-O2CCF3 (Z = H2N+, n = 1) was prepared The antioxidant and antiarthritic activity of I·-O2CCF3 (Z = H2N+, n = 1) was determined [98.6% reduction in chemiluminescence in HRP test at
40 μg/mL; ED = 2.4 mg/mouse].

L68 ANSWER 5 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:379640 HCAPLUS
DOCUMENT NUMBER: 138:35624
TITLE: Tricarbocyanine cholesteryl laurates labeled LDL: new near infrared fluorescent probes (NIRFs) for monitoring tumors and gene therapy of familial hypercholesterolemia
AUTHOR(S): Zheng, Gang; Li, Hui; Yang, Kathy; Blessington, Dana;

Licha, Kai; Lund-Katz, Sissel; Chance, Britton; Glickson, Jerry D.
CORPORATE SOURCE: Department of Radiology, University of Pennsylvania, Philadelphia, PA, 19104, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(11), 1485-1488
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(IR fluorescent probes (NIRFs) for monitoring tumors and gene therapy of familial hypercholesterolemia)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

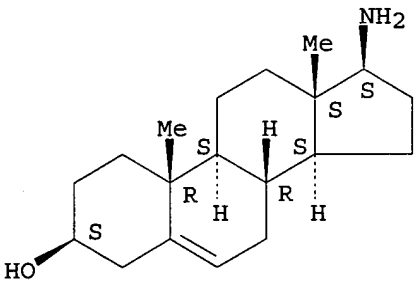


AB For monitoring low-d. lipoprotein receptors (LDLr) in tumors and in livers of patients with familial hypercholesterolemia (FH) treated with gene therapy, a series of tricarbocyanine cholesteryl laurates were synthesized with the cholesteryl laurate moiety serving as the lipid-chelating anchor for low-d. lipoprotein (LDL). One of these conjugates, TCL17, was successfully used to label LDL to give a new NIRF, TCL17-LDL. Ex vivo biol. studies on an LDLr overexpressing tumor model, human hepatoblastoma G2 (HepG2), confirmed that this NIRF were internalized selectively by the tumor and detected with high sensitivity by a low-temperature 3-D redox scanner.
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 6 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:287003 HCAPLUS
DOCUMENT NUMBER: 137:17202
TITLE: Low-Density Lipoprotein Reconstituted by Pyropheophorbide Cholesteryl Oleate as Target-Specific Photosensitizer
AUTHOR(S): Zheng, Gang; Li, Hui; Zhang, Min; Lund-Katz, Sissel; Chance, Britton; Glickson, Jerry D.
CORPORATE SOURCE: Department of Radiology, Department of Biochemistry and Biophysics, University of Pennsylvania Medical School, Philadelphia, PA, 19104, USA
SOURCE: Bioconjugate Chemistry (2002), 13(3), 392-396
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal

LANGUAGE: English
IT **4350-66-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(tumor uptake of pyropheophorbide cholesterol oleate reconstituted into LDL)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

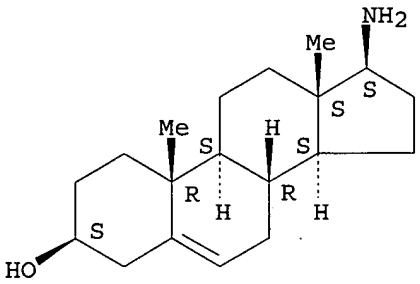
Absolute stereochemistry.



AB To target tumors overexpressing low-d. lipoprotein receptors (LDLr), a pyropheophorbide cholesterol oleate conjugate was synthesized and successfully reconstituted into the low-d. lipoprotein (LDL) lipid core. Laser scanning confocal microscopy studies demonstrated that this photosensitizer-reconstituted LDL can be internalized via LDLr by human hepatoblastoma G2 (HepG2) tumor cells.
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:81705 HCAPLUS
DOCUMENT NUMBER: 132:222215
TITLE: Zinc porphyrin tweezer in host-guest complexation: determination of absolute configurations of primary monoamines by circular dichroism
AUTHOR(S): Huang, Xuefei; Borhan, Babak; Rickman, Barry H.; Nakanishi, Koji; Berova, Nina
CORPORATE SOURCE: Department of Chemistry, Columbia University, New York, NY, 10027, USA
SOURCE: Chemistry--A European Journal (2000), 6(2), 216-224
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(absolute configuration; zinc porphyrin tweezer in host-guest complexation for determination of absolute configurations of primary monoamines by CD)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

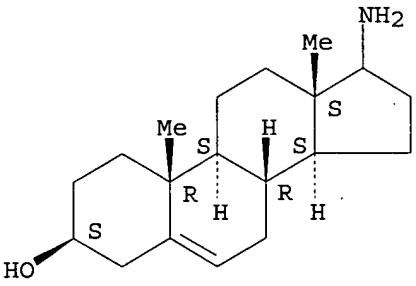
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A nonempirical exciton chirality circular dichroic (CD) method for determining the absolute configurations of primary monoamines with amino group directly linked to the stereogenic center is described. Conventional exciton chirality CD method cannot be applied to these compds. since they lack the two sites for attaching the interacting chromophores. This was solved by covalently linking the monoamine to a trifunctional bidentate carrier moiety I. Treatment of the carrier/monoamine conjugate with the porphyrin tweezer II consisting of two pentanediol-linked zinc porphyrins gives rise to 1:1 host-guest macrocyclic complexes that exhibit exciton-coupled CD spectra. The sign of the CD couplet can then be correlated with the absolute configuration of the monoamine as follows: a clockwise arrangement of the L, M, and S (large, medium, small) groups in the Newman projection of the monoamine with the amino group in the rear gives rise to a pos. CD couplet, and vice versa; the assignments of L, M, S groups are based on conformational energies (A values). This method is applicable to cyclic and acyclic aliphatic amines, aromatic amines, amino esters, amides, and cyclic amino alcs., and can be performed at the several microgram level.
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L68 ANSWER 8 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:266897 HCAPLUS
DOCUMENT NUMBER: 126:293484
TITLE: Steroids. Part 53. New routes to amino steroids
AUTHOR(S): Szendi, Z.; Dombi, G.; Vincze, I.
CORPORATE SOURCE: Department Organic Chemistry, Attila Jozsef University, Szeged, H-6720, Hung.
SOURCE: Monatshefte fuer Chemie (1996), 127(11), 1189-1196
CODEN: MOCMB7; ISSN: 0026-9247
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:293484
IT **2723-01-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino steroids by reduction of ketoximes with sodium borohydride
and nickel chloride or molybdenum trioxide)
RN 2723-01-5 HCAPLUS

CN Androst-5-en-3-ol, 17-amino-, (3β)- (9CI) (CA INDEX NAME)

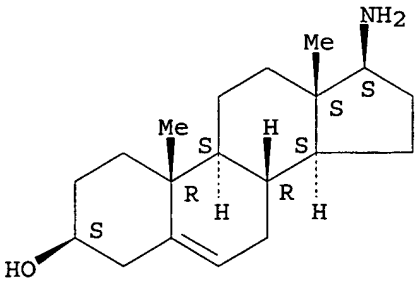
Absolute stereochemistry.



AB Steroidal ketoximes were reduced with NaBH4 in the presence of NiCl2 or MoO3 to yield 17α- and 20α-aminosteroids in higher yields than common reduction methods.

L68 ANSWER 9 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:115170 HCAPLUS
DOCUMENT NUMBER: 110:115170
TITLE: Steroids and related studies. Part 82. Chandonium related azasteroidal neuromuscular blockers
AUTHOR(S): Singh, Harkishan; Gupta, Rakesh Kumar; Bhardwaj, Tilak Raj
CORPORATE SOURCE: Dep. Pharm. Sci., Panjab Univ., Chandigarh, 160 014, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(6), 508-12
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:115170
IT **4350-66-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive methylation of, with formaldehyde)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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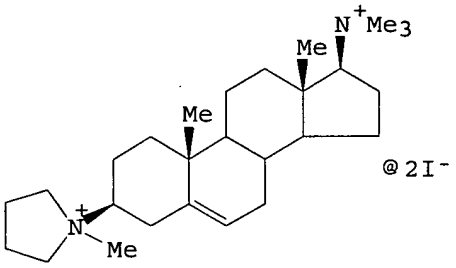

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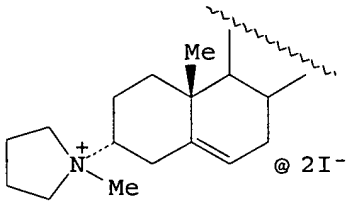
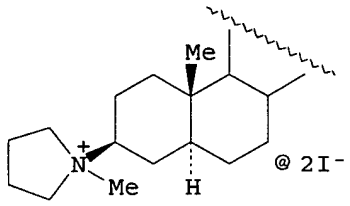
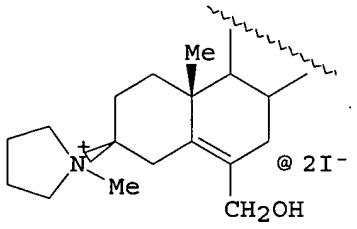


II



III

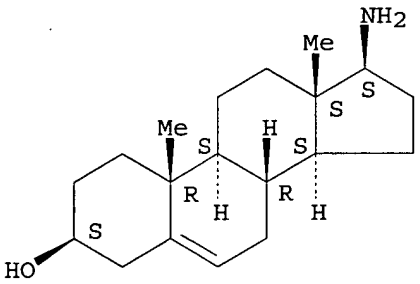


IV

AB Bisquaternary steroids HS-854 (I), HS-1046 (II), HS-944 (III), and HS-892 (IV) were prepared by standard methods. All the new bisquaternary steroids are active as neuromuscular blockers in the rat phrenic nerve diaphragm preparation The structure-activity relationship has been discussed.

L68 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:567706 HCAPLUS
DOCUMENT NUMBER: 105:167706
TITLE: Active site-directed inhibition of rabbit cytochrome P 450 1 by amino-substituted steroids
AUTHOR(S): Johnson, Eric F.; Schwab, George E.; Singh, Jangbir; Vickery, Larry E.
CORPORATE SOURCE: Dep. Basic Clin. Res., Res. Inst. Scripps Clin., La Jolla, CA, 92037, USA
SOURCE: Journal of Biological Chemistry (1986), 261(22), 10204-9
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: BIOL (Biological study)
(steroid 21-hydroxylase cytochrome P 450 inhibition by)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

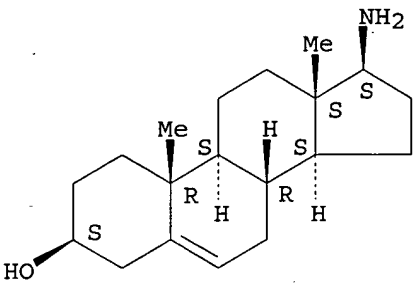
Absolute stereochemistry.



AB A variety of amino-substituted steroids were investigated as inhibitors of the rabbit hepatic, steroid 21-hydroxylase, cytochrome P 450 1. It was reasoned that a steroid analog of pregnenolone capable of mimicking the binding of C21-steroids to the enzyme at the active site and bearing an amine moiety on the 17β-side-chain would be a potent inhibitor if the amine were free to interact with the heme Fe. The studies revealed that 22-amino-23,24-bisnor-5-cholen-3β-ol (22-ABC) is a tightly-bound inhibitor of cytochrome P 450 1-catalyzed reactions (Ki <1 nM). Spectral differences elicited by 22-ABC indicated that when bound to the enzyme, the amino moiety of 22-ABC is coordinated to the heme Fe. In contrast, several other hepatic cytochrome P 450s which mediate distinct regiospecific routes of metabolism for progesterone or pregnenolone remained largely unaffected at concns. of 22-ABC that exceeded by 2 orders of magnitude that required to inhibit cytochrome P 450 1. 22-ABC also inhibited the metabolism of benzo[a]pyrene attributable to cytochrome P 450 1 but did not inhibit that induced by treatment with rifampicin or 2,3,7,8-tetrachlorodibenzo-p-dioxin. Analogs of 22-ABC bearing a hydroxyl group or a methylamine in place of the amine moiety exhibited lower affinities for cytochrome P 450 1. In addition, either increasing or decreasing the number of C atoms of the side chain reduced the affinity of the inhibitor for cytochrome P 450 1.

L68 ANSWER 11 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:2709 HCAPLUS
DOCUMENT NUMBER: 100:2709
TITLE: Active site-directed inhibitors of cytochrome P-450scc. Structural and mechanistic implications of a side chain-substituted series of amino-steroids
AUTHOR(S): Sheets, Joel J.; Vickery, Larry E.
CORPORATE SOURCE: Dep. Physiol. Biophys., Univ. California, Irvine, CA, 92717, USA
SOURCE: Journal of Biological Chemistry (1983), 258(19), 11446-52
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: BIOL (Biological study)
(cytochrome P 450scc response to)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

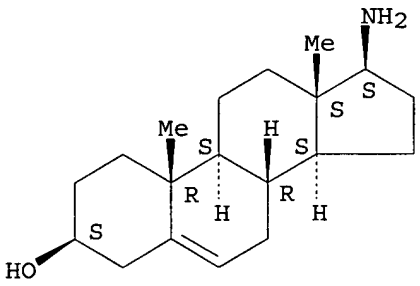
Absolute stereochemistry.



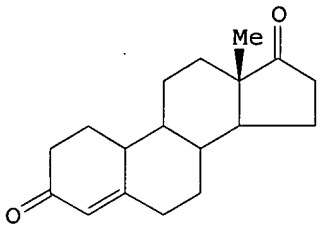
AB A series of analogs of cholesterol, each having a shortened side-chain and a primary amine group, were prepared and tested for their effects on the bovine adrenocortical cholesterol side-chain cleavage cytochrome P 450 (P-450scc) system (steroid 20-22-desmolase). The 23-amine derivative, 23-amino-24-nor-5-cholen-3β-ol, was found to be a potent inhibitor and to be competitive with respect to cholesterol (Ki = 38 nM). Binding of the 23-amine to P-450scc also caused formation of a low spin complex with an absorption maximum at 422 nm, indicative of a N-donor ligand. Other derivs. in which the side-chain amine was linked closer to the steroid, 17β-amino-5-androsten-3β-ol and (20 R + S)-20-amino-5-pregnen-3β-ol, were found to be only very weak inhibitors and did not produce the 422-nm spectral form when bound. Derivs. in which the amine was attached a greater distance from the steroid ring, 24-amino-5-cholen-3β-ol and 25-amino-26,27-bisnor-5-cholesten-3β-ol, caused a progressive decrease in inhibitory potency and a failure to produce the 422-nm form on binding. The dependence of the type of interaction of these amino steroids with P-450scc upon the amine position established that the steroid-binding site and the heme catalytic site of the enzyme are fixed within a specific distance of one another. The heme appeared to be located sufficiently close to the position that the side-chain of cholesterol would occupy to allow for direct attack of an Fe-bound oxidant to occur during hydroxylation and side-chain cleavage.

L68 ANSWER 12 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:416708 HCAPLUS
DOCUMENT NUMBER: 99:16708
TITLE: Inhibition of testosterone synthesis in the canine testis in vitro
AUTHOR(S): Pittaway, Donald E.
CORPORATE SOURCE: Sch. Med., Louisiana State Univ., Shreveport, LA, 71130, USA
SOURCE: Contraception (1983), 27(4), 431-6
CODEN: CCPTAY; ISSN: 0010-7824
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: BIOL (Biological study)
(testosterone formation inhibition by, in testis, structure in relation to)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

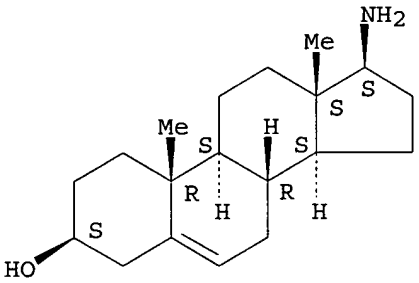


I

AB The inhibitory effects of 20 steroids on testicular 17β-hydroxy steroid oxidoreductase (17β-HOR) [9015-81-0] activity were examined in microsomal prepns. of canine testes. Six steroids inhibited testosterone [58-22-0] formation, but only 4-estrene-3,17-dione (I) [734-32-7] (Ki = 2.4 μM) and 5-androstene-3,17-dione [571-36-8] (Ki = 6.8 μM) had significant inhibitory activity. The following mol. characteristics are apparently necessary for competitive inhibition of 17β-HOR activity: requirement for 17-keto group; relative requirement for 3-keto group; decreased inhibition with unsatn. in position 5-6; and marked loss of inhibitory activity with 6β- or 19-hydroxylation and A-ring aromatization.

L68 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:161072 HCAPLUS
DOCUMENT NUMBER: 98:161072
TITLE: NMR studies of D-ribosylamines in solution: derivatives of primary amines. I
AUTHOR(S): Chavis, Claude; De Gourcy, Chantal; Dumont, Francoise; Imbach, Jean Louis
CORPORATE SOURCE: Lab. Chim. Bio-Org., Univ. Sci. Tech. Languedoc, Montpellier, 34060, Fr.
SOURCE: Carbohydrate Research (1983), 113(1), 1-20
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with ribose)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

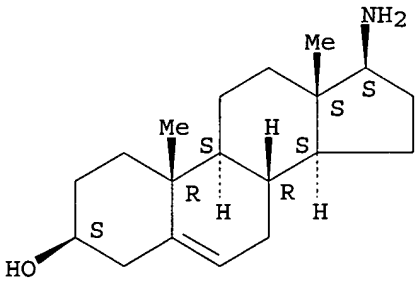
Absolute stereochemistry.



AB NMR spectroscopy shows that primary amines condense with D-ribose to give mainly D-ribopyranosylamines in which the α anomer in the 1C4 conformation preponderates; the β anomer assumes mainly the 4C1 conformation. Thus, it is possible to deduce the structures of the N-phenyl-D-ribosylamines and to correlate some of the literature data. For 2,3-O-isopropylidene-D-ribofuranosylamine derivs., the Δδ values for the 13C-NMR signals of the isopropylidene Me groups can be used to establish the anomeric configuration.

L68 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:406611 HCAPLUS
DOCUMENT NUMBER: 97:6611
TITLE: Optically active amines. 30. Application of the salicylidenimino chirality rule to aliphatic and alicyclic amines
AUTHOR(S): Smith, Howard E.; Taylor, Clinton A., Jr.; McDonagh, Antony F.; Chen, Fu Ming
CORPORATE SOURCE: Dep. Chem., Vanderbilt Univ., Nashville, TN, 37235, USA
SOURCE: Journal of Organic Chemistry (1982), 47(13), 2525-31
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with salicylaldehyde)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

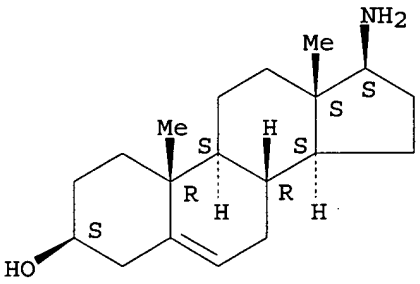


AB The salicylidenimino chirality rule was used to correlate the sign of the observed Cotton effects near 315 and 255 nm in the CD spectra of N-salicylidene derivs. of aliphatic and alicyclic amines with their absolute configurations. The rule is based on the model that the Cotton effects originate from interaction of the resp. transition moments of the

hydrogen-bonded salicylidenimino chomophore with bond transition moments in the rest of the mol. C-C and C-O bonds vicinal and homovicinal to the salicyclidenimino attachment bond are the dominant contributors to the Cotton effects, and the sign of the Cotten effects depends on the algebraic sum of these contributions. Since the polarizability of a C-O bond is smaller than that of a C-C bond, the contribution of a vicinal or homovicinal C-O bond is less than that of a corresponding C-C bond. The sign of a particular contribution can be determined by the chirality that the bond has with the attachment bond of the salicyclidenimino group, a pos. contribution for pos. chirality (right-handed screw) and a neg. contribution for neg. chirality (left-handed screw).

L68 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:567040 HCAPLUS
DOCUMENT NUMBER: 93:167040
TITLE: Simple methods to identify proton(s) on a carbon holding an amino group
AUTHOR(S): Narayanan, C. R.; Naik, D. G.
CORPORATE SOURCE: Natl. Chem. Lab., Poona, 411 008, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1980), 19B(3), 209-10
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: PRP (Properties)
(NMR of, effect of methylation, protonation of nitrosation on)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

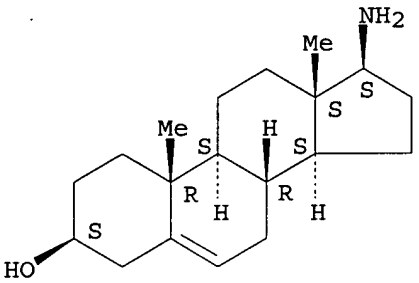


AB Amine protonation deshields the protons on the C atom α to an amino N atom and nitrosation causes a very large deshielding. But methylation of the amine shields these protons, the di-Me derivative shielding more than the mono-Me derivative Attachment of electroneg. groups such as OH, NH2, and SH deshields adjacent protons, but methylation of these groups shields the same protons, the shielding effect increasing with increasing electronegativity of the atom.

L68 ANSWER 16 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:407080 HCAPLUS
DOCUMENT NUMBER: 93:7080
TITLE: Shielding effect on adjacent proton on methylation of primary amines
AUTHOR(S): Narayanan, C. R.; Naik, D. G.
CORPORATE SOURCE: Natl. Chem. Lab., Poona, 411 008, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1979), 18B(6), 533
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: PRP (Properties)
(NMR spectrum of)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

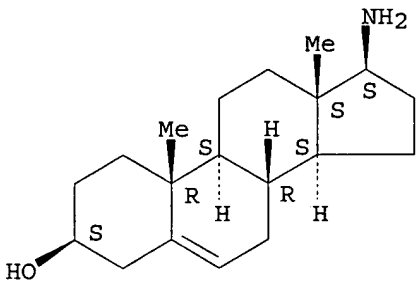
Absolute stereochemistry.



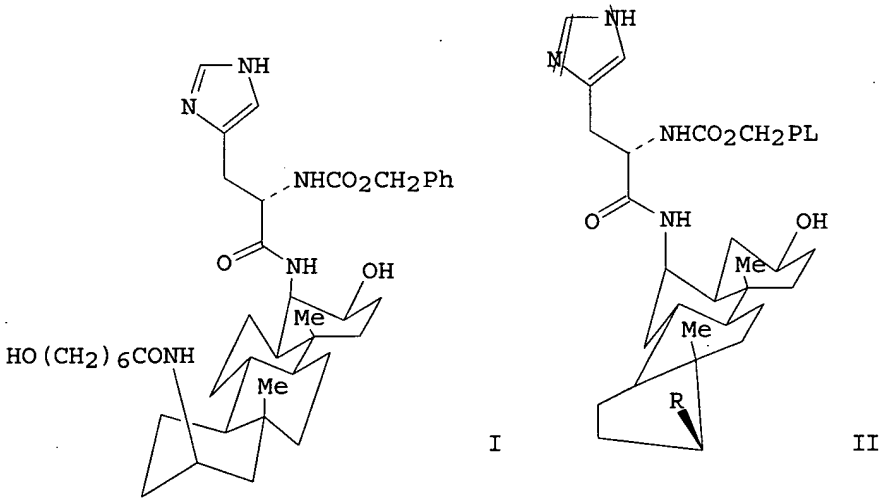
AB The methine proton on a secondary C holding a primary amine is shielded by .apprx.0.5 ppm when the primary amine is dimethylated. As the same proton is deshielded by .apprx.1 ppm when the amine is converted to an amide. Methylation can be used as a complementary or as an independent method to identify the proton.

L68 ANSWER 17 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:38055 HCAPLUS
DOCUMENT NUMBER: 88:38055
TITLE: Bifunctional catalysts. IV. Synthesis and catalytic action of steroids with an alcohol function and imidazole nucleus
AUTHOR(S): Fetizon, M.; Jaudon, P.
CORPORATE SOURCE: Lab. Synth. Org., Ec. Polytech., Palaiseau, Fr.
SOURCE: Tetrahedron (1977), 33(13), 1619-24
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: French
IT **4350-66-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with hydroxyheptanoic acid derivs.)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

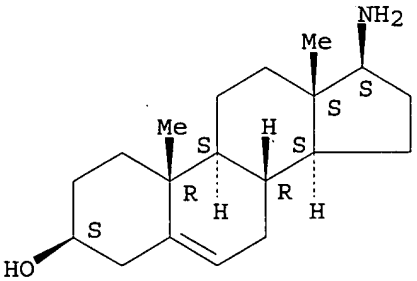


AB The diamino steroids I and II [R = NHCO(CH2)6OH] were prepared from 17β-amino-17aα-methyl-3β-D-homoandrost-5-ene and 17β-amino-3β-hydroxyandrost-5-ene, resp., by sequential condensation with a heptanoic acid derivative, nitration, reduction, condensation and N-benzyloxycarbonylhistidine, and saponification The catalytic effect of I and II [R = NHCO(CH2)6OH, H) on the hydrolysis of AcOC6H4NO2-4 was studied. A slight acceleration was observed with compds. in which hydroxy and imidazole groups are attached to the steroid skeleton. The acceleration was greater with I than with II [R = NHCO(CH2)6OH].

L68 ANSWER 18 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:401064 HCAPLUS
DOCUMENT NUMBER: 83:1064
TITLE: Inhibition of glucose-6-phosphate dehydrogenase by steroids. VIII. Effects of synthetic C19- and C20-steroids upon placental glucose-6-phosphate dehydrogenase
AUTHOR(S): Belovsky, O.; Benes, P.; Oertel, G. W.
CORPORATE SOURCE: Abt. Exp. Endokrinol., Univ. Frauenklin, Mainz, Fed. Rep. Ger.

SOURCE: Journal of Steroid Biochemistry (1974), 5(7), 697-700
CODEN: JSTBBK; ISSN: 0022-4731
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: BIOL (Biological study)
(glucose phosphate dehydrogenase inhibition by, in placenta)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

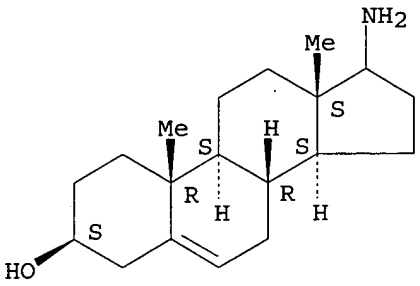
Absolute stereochemistry.



AB The alkyl esters of 5-etienic acid [10325-79-8] with a chain length of C1-C4 were effective inhibitors of human placental glucose-6-phosphate dehydrogenase [9001-40-5], whereas the free 5-etienic acid as well as its N-butyl amide [55207-11-9] lacked any inhibitory properties. Thus, the findings support the conclusion that 5-etienic acid methyl ester [7254-03-7] may exert certain biol. effects by suppression of glucose-6-phosphate dehydrogenase activity.

L68 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:488735 HCAPLUS
DOCUMENT NUMBER: 79:88735
TITLE: Inhibitors of human placental C19 and C21 3β-hydroxysteroid dehydrogenases
AUTHOR(S): Goldman, Allen S.; Sheth, Kishore
CORPORATE SOURCE: Div. Exp. Pathol., Child. Hosp., Philadelphia, PA, USA
SOURCE: Biochimica et Biophysica Acta (1973), 315(2), 233-49
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **2723-01-5**
RL: BIOL (Biological study)
(hydroxy steroid dehydrogenase inhibition by)
RN 2723-01-5 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β)- (9CI) (CA INDEX NAME)

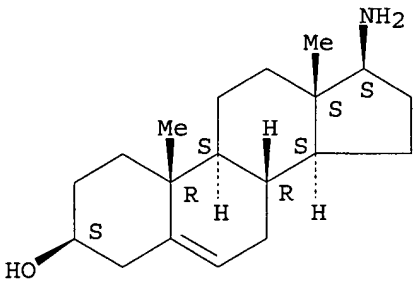
Absolute stereochemistry.



AB The effect of several natural and synthetic steroids on the activity of Δ5,3β-hydroxy steroid dehydrogenase in homogenates of human placenta was measured by a method which determined the conversion of labeled dehydroepiandrosterone to androstenedione, testosterone, 17β-estradiol, and estrone and of labeled pregnenolone to progesterone and 5α-pregnane-3,20-dione. The method utilized thin-layer chromatog. systems and radio-gas-liquid chromatog. which separated each steroidal product from each substrate. Enzymic activity was determined rapidly and efficiently in multiple samples of very small amts. of tissue. It was demonstrated that nucleophilic substituents on, adjacent to, or at some distance from the site on the steroid mol. catalyzed by the enzyme may increase the inhibitory capacity of the parent steroid or confer inhibitory capacity to an inactive parent steroid. Selective inhibition of the conversion of pregnenolone by several steroids demonstrated substrate specificity of the C19- and C21-3β-hydroxy steroid dehydrogenases. The most potent of these selective inhibitors were, in descending order of inhibitory potency: 2α-bromo-17β-hydroxy-5α-androstan-3-one 17β-acetate; 3β,17α-dihydroxy-5-pregnene-3,20-dione-16α-nitrile; 3β-hydroxy-5α-pregnan-20-one-16α-nitrile; and 2α-bromo-5α-androstane-3,17-dione. The most potent inhibitors of both enzymes were 2α-cyano-4,4-dimethyl-2,3α-tetrahydrofuran-2-spiro-17,5-androsten-3-one and 6,16β-dimethyl-3β-hydroxy-5-pregnene-16α-nitrile. The usual form of cyanoketone (2α-cyano-17β-hydroxy-4,4,17α-trimethyl-5-androsten-3-one) did not inhibit either enzyme.

L68 ANSWER 20 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:11452 HCAPLUS
DOCUMENT NUMBER: 78:11452
TITLE: 17-Aminoacylamido steroid antidepressants
AUTHOR(S): Flouret, George; Cole, Wayne; Biermacher, Ursula
CORPORATE SOURCE: Res. Div., Abbott Lab., North Chicago, IL, USA
SOURCE: Journal of Medicinal Chemistry (1972), 15(12), 1281-3
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 78:11452
IT **4350-66-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzyloxycarbonylalanine p-nitrophenyl ester)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

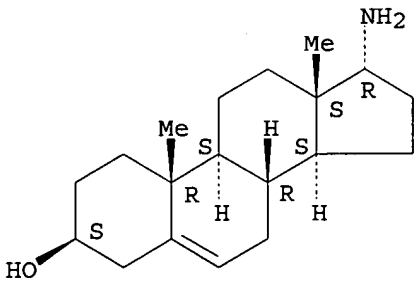
Absolute stereochemistry.



AB 17β-(N,N-dimethylglycinamido-5-androsten-3β-ol [37571-74-7], 17β-(L-alaninamido)-5-androsten-3β-ol (I) [37571-75-8], 17β-(β-alaninamido)-5-androsten-3β-ol [37571-76-9], and 17β-(L-threoninamido)-5-androsten-3β-ol [37571-77-0] showed weak to moderate antidepressant activity when given to mice orally or i.p. at 30-50 mg/kg. To synthesize I, 17β-amino-5-androsten-3β-ol was condensed with benzyloxycarbonylalanine p-nitrophenyl ester and the protecting group was reductively removed with Na in liquid NH3-dioxane.

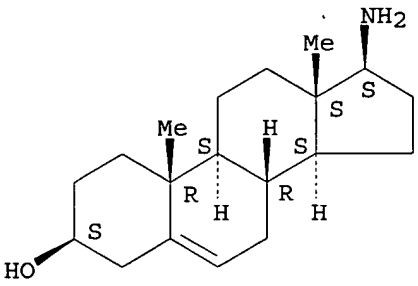
L68 ANSWER 21 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:449429 HCAPLUS
DOCUMENT NUMBER: 75:49429
TITLE: Cardiotonic steroid analogs. IX. Synthesis of N-(steroid-17-yl)-maleimide
AUTHOR(S): Nambara, Toshio; Shibata, Toshiyuki; Mimura, Masaaki; Hosoda, Hiroshi
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1971), 19(5), 954-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **1229-07-8P 4350-66-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 1229-07-8 HCAPLUS
CN Androst-5-en-3β-ol, 17α-amino- (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

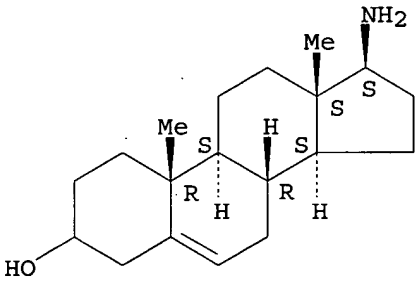
Absolute stereochemistry.



AB Modified cardenolides with the maleimide function, a typical SH-blocking group, were prepared E.g., condensation of 17α-amino-5α-androstan-3β-ol maleic anhydride gave a maleamic acid, which with Ac2O gave the maleimide by intramol. dehydration. Isomaleimides were also described. About 10 compds. were prepared

L68 ANSWER 22 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:29264 HCAPLUS
DOCUMENT NUMBER: 70:29264
TITLE: Steroid derivatives of cysteamine and cysteine
AUTHOR(S): Wheeler, Owen H.; Reyes-Zamora, Cesar
CORPORATE SOURCE: Puerto Rico Nucl. Center, Mayaguez, P. R.
SOURCE: Canadian Journal of Chemistry (1969), 47(1), 160-3
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **20989-30-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 20989-30-4 HCAPLUS
CN Androst-5-en-3-ol, 17β-amino- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

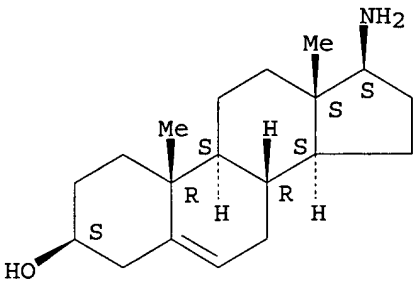


GI For diagram(s), see printed CA Issue.
AB A number of androstenone, estrone, and pregnenone derivs. of cysteamine, e.g. I, were prepared by reacting the steroid amines with ethylene monothiolcarbonate. The amides of androstenone carboxylic acid with mercaptoethylamine and cysteine were also prepared

L68 ANSWER 23 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:95379 HCAPLUS
DOCUMENT NUMBER: 66:95379
TITLE: Steroids and related natural products. XXXVI. Structural biochemistry. 4. 3β-Hydroxy-17β-(L-prolyl)aminoandrost-5-ene

AUTHOR(S): Pettit, George R.; Smith, Robert Lawrence; Das Gupta, Arun K.; Occolowitz, John L.
CORPORATE SOURCE: Univ. of Maine, Orono, ME, USA
SOURCE: Canadian Journal of Chemistry (1967), 45(5), 501-7
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

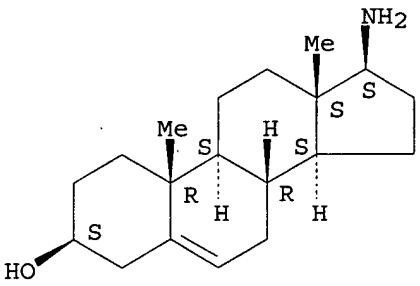
Absolute stereochemistry.



GI For diagram(s), see printed CA Issue.
AB cf. CA 65, 20208f; 66, 76285e. The synthesis of the title compound I was studied in detail and the following combination of methods was found reliable and convenient. The oxime derivative Ib of ketone Ia was reduced with Na-EtOH to 3β-hydroxy-17β-amino-androst-5-ene. The configurational assignment for amine IIa was supported by the results of a comparison with the 17α-epimer and by a proton magnetic resonance study of both isomers. Selective reaction between amine IIa and carbobenzyloxy-L-proline was achieved with Woodward's reagent K. Of several procedures explored for removing the carbobenzyloxy protecting group from amide IIc, Pd-catalyzed hydrogenolysis proved quite satisfactory. Hydrogenolysis of carbamate IIb to yield prolyl amide I was realized without affecting the Δ5-olefin system. A mass spectral study of amine I and the corresponding 5α-derivative (III) confirmed the latter observation. A brief review of procedures for the synthesis of steroidal amines is also presented.

L68 ANSWER 24 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:73128 HCAPLUS
DOCUMENT NUMBER: 68:73128
TITLE: X-ray diffraction powder data for steroids. VIII
AUTHOR(S): Parsons, Jonathan; Holcomb, John B.; Beher, William T.
SOURCE: DACWF Title (1967), 15(2), 133-8
CODEN: HEHJAX
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**
RL: PRP (Properties)
(x-ray diffraction data for)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



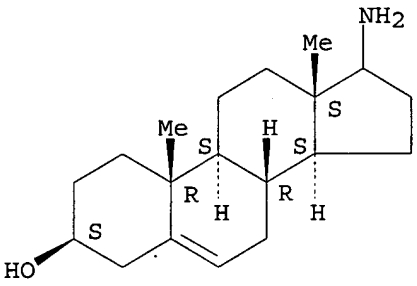
AB Data on the following 26 new steroids were included in this supplement: 2α-bromo-5α-cholestan-3-one, m. 173.5-74°; androsta-5,16-dien-3β-ol, m. 140-1.5°; androst-5-en-3β-ol, m. 127-8°; 5α-pregnan-20α-ol, m. 143-4.5°; 5α-pregnan-20β-ol, m. 141-3°; androst-5-en-3β,17α-diol, m. 197-9°; 5α-pregnan-3β,20α-diol diacetate, m. 168-70°; 5β-pregnan-3α,20β-diol diacetate, m. 111-13°; androsta-3,5-dien-17-one, m. 83-5°; androsta-4,16-dien-3-one, m. 134-6°; androst-4-en-3-one, m. 105.5-6.5°; androsta-4,6-dien-17β-ol-3-one, m. 203-5°; 17α-methyl-androsta-4,9(11)-dien-17β-ol-3-one m. 170-2°; 5β-androstan-17α-ol-3-one, m. 142-4°; 3α-acetoxy-5β-pregnan-20-one, m. 100-2°; androst-4-en-16α-ol-3,17-dione, m. 184-6°; androst-5-en-3-ol-16,17-dione 16-oxime, m. 148-50°; 3α-acetoxy-5β-pregnan-12,20-dione, m. 131-4°; 3β-acetoxy-5α-pregnan-16-en-12,20-dione-3β-acetoxy, m. 177-9°; androst-4-en-11α,17β-diol-3-one, m. 180-2°; 17α-methyl-androst-4-en-11α,17β-diol-3-one, m. 156-9°; 5β-pregnan-3α,21-diol-20-one 21-acetate, m. 182-4°; pregn-4-en-17α,20β,21-triol-3-one, m. 188-90°; pregn-4-en-11β,17α,20α, 21-tetrol-3-one, m. 258-60°; 17β-amino-androst-5-en-3β-ol, m. 165-7°.

L68 ANSWER 25 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:454913 HCAPLUS
DOCUMENT NUMBER: 63:54913
ORIGINAL REFERENCE NO.: 63:10028g-h,10029a-c
TITLE: 3-Glycosides of 17-amino-3-hydroxy-5-androstenes
INVENTOR(S): MacPhillamy, Harold B.; Lucas, Robert A.
PATENT ASSIGNEE(S): CIBA Corp.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable.
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3189597		19650615	US	19590304

IT **2723-01-5**, Androst-5-en-3β-ol, 17-amino-
(preparation of)
RN 2723-01-5 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

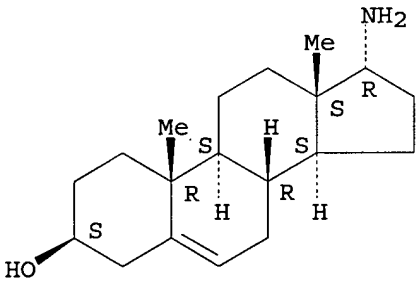


AB The title compds. can be used as hypertensive agents. A solution of 2 g. of 3β-hydroxy-17ξ-trifluoroacetamido-5α-androstane in 125 cc. of dry CHCl3, was stirred for 24 hrs. at room temperature with 5 g. of Ag2O, 5 g. acetobromglucose, and 5 g. of pulverized anhydrous CaSO4. The mixture was filtered, and the filtrate concentrated in vacuo and recrystd. from EtOH. The 3-D-β-tetra acetylglucoside of 3β-hydroxy-17ξ-trifluoroacetamido-5α-androstane (I), m. 227-9.5° after recrystn. from EtOH. A mixture of 1.27 g. of I, 20 cc. of EtOH, 2 cc. of H2O, and 1 g. of KOH was refluxed for 3 hrs. The solution was poured into ice-H2O and the 3-D-β-glucoside of 17ξ-amino-3β-hydroxy-5α-androstane, m. 225-60°, was filtered off. The crystals were dissolved in a little EtOH containing a few drops of concentrated HCl. The HCl salt of 3-D-βglucoside of 17ξ-amino-3βhydroxy-5α-androstane was filtered off and washed with EtOH, m. <300°. The starting material used above was prepared by taking a solution of 10 g. of 3β-hydroxy-5-androsten-17-one in 150 cc. of hot absolute EtOH and treating with a solution of 2.78 g. of NH2OH.HCl in a min. amount of hot H2O followed by a solution of 3.28 g. anhydrous NaOAc in a min. amount of hot H2O. The mixture was refluxed for 2 hrs., cooled, and diluted with 350 cc. of cold H2O. The mixture was chilled, filtered and the crystalline 3β-hydroxy-17-oximino-5-androstene (II), was washed with H2O, m. 198-200°. A hot solution of 11.3 g. of II in 830 cc. of glacial AcOH was cooled and treated with H at atmospheric pressure in the presence of 2 g. of PtO2. The catalyst was filtered off, the filtrate concentrated to dryness in vacuo, the residue dissolved in warm MeOH, and made basic with dilute aqueous NaOH. The crystalline 17ξ-amino-3β-hydroxy-5α-androstane (III) was filtered off and recrystd. from aqueous MeOH, m. 163-4.5°. Four and 16 hundredths g. of III was dissolved in 35 cc. of dry pyridine and 7 cc. of trifluoroacetic anhydride was added. The solution was allowed to stand at room temperature for 2 hrs. and poured into cold H2O. The yellow gum crystallizes with stirring. The crystals were filtered off, dissolved in Et2O, and the solution washed with dilute aqueous HCl and H2O. On concentration it yields 6.9 g. of yellow crystals. These were dissolved in 350 cc. of EtOH to which was added 13.6 g. of KHCO3 in 175 cc. of cold H2O. After standing at room temperature for 48 hrs., H2O was added and filtered, m. 2025° yield 3.67 g. Similarly prepared were: 3-D-β-tetraacetylglucoside of 3β-hydroxy-17ξ-trifluoroacetamido-5-androstene, m. 204-8°; 3-D-β-glucoside of 17ξamino-3β-hydroxy-5-androstene, m. 276° (decomposition); the HCl salt of 3-D-β-glucoside of 17ξ-amino-3β-hydroxy-5-androstene, m. >300°; 17ξ-amino-3βhydroxy-5-androstene; m. 161-4°; 3β-hydroxy-17ξ-trifluoroacetamido-5-androstene, m. 222-7°; 3-D-β-tetraacetylarabinoside of 3β-hydroxy-5α-androstan-17-

one, m. 186°; 3-D-β-tetraacetylarabinoside of 17ξ-amino-3β-hydroxy-5α-androstane, m. 100-5°; 3-D-β-arabinoside of 17ξ-amino-3β-hydroxy-5α-androstane, m. 235° (decomposition).

L68 ANSWER 26 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:4309 HCAPLUS
DOCUMENT NUMBER: 64:4309
ORIGINAL REFERENCE NO.: 64:778h
TITLE: Racemic 17β-hydroxy-17α-vinylestr-5(10)-en-3-one
AUTHOR(S): Hiscock, A. K.; Whitehurst, J. S.
CORPORATE SOURCE: Univ. Exeter, UK
SOURCE: Journal of the Chemical Society, Abstracts (1965), (Oct.), 5772-4
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **1229-07-8**, Androst-5-en-3β-ol, 17α-amino-
(preparation of)
RN 1229-07-8 HCAPLUS
CN Androst-5-en-3β-ol, 17α-amino- (7CI, 8CI) (CA INDEX NAME)

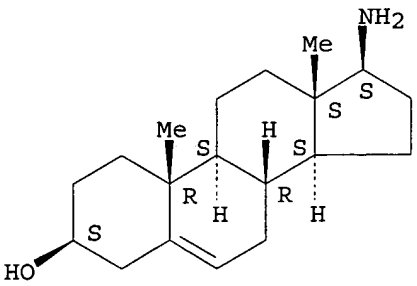
Absolute stereochemistry.



AB HC.tplbond.CH and 3-methoxyestra-1,3,5(10),8-tetraen-17-one gave 17α-ethynyl-3-methoxyestra-1,-3,5(10),8-tetraen-17β-ol, which with Li in liquid NH3 afforded 17-ethylidene-3-methoxyestra-2,5(10)-diene, 3-methoxy-17α-vinylestra-2,5(10)-dien-17β-ol (I), and 17-ethylideneestra-1,3,5(10)-trien-3-ol. I and (CO2H)2.2H2O in aqueous MeOH gave 17β-hydroxy-17α-vinylestr-5(10)-en-3-one.

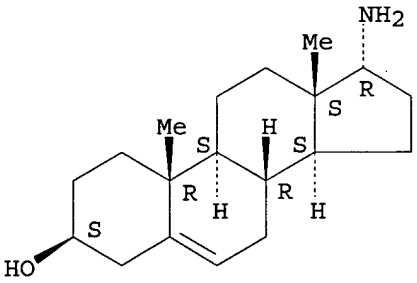
L68 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:4310 HCAPLUS
DOCUMENT NUMBER: 64:4310
ORIGINAL REFERENCE NO.: 64:778h,779a
TITLE: The synthesis of 17α-amino-5-androsten-3β-ol. N.M.R. spectra of 17-substituted androstanes
AUTHOR(S): Robinson, C. H.; Ermann, C.; Hollis, D. P.
CORPORATE SOURCE: Johns Hopkins Univ., School of Med., Baltimore, MD
SOURCE: Steroids (1965), 6(5), 509-18
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **4350-66-7**, Androst-5-en-3β-ol, 17β-amino-
(nuclear magnetic resonance of)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **1229-07-8**, Androst-5-en-3β-ol, 17α-amino-
(preparation of)
RN 1229-07-8 HCAPLUS
CN Androst-5-en-3β-ol, 17α-amino- (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



AB The synthesis of 17α-amino-5-androsten-3β-ol is described. Assignment of configuration at C-17, in 17-substituted 16-unsubstituted steroids, by N.M.R. spectroscopy has been put on a firm basis.

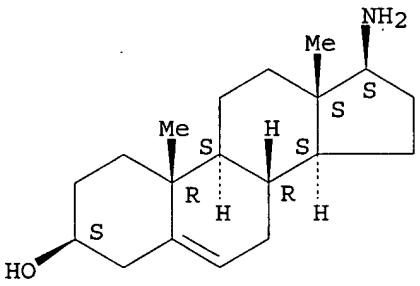
L68 ANSWER 28 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:440612 HCAPLUS
DOCUMENT NUMBER: 61:40612
ORIGINAL REFERENCE NO.: 61:7075c-e
TITLE: Primary amines
INVENTOR(S): De Ruggieri, Pietro; Gandolfi, Carmelo; Chiaramonti, Domenico
PATENT ASSIGNEE(S): Ormonoterapia Richter Societa per Azioni
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3137710		19640616	US	
DE 1173484			DE	
GB 960939			GB	

PRIORITY APPLN. INFO.: IT 19610330
OTHER SOURCE(S): CASREACT 61:40612
IT **4350-66-7**, Androst-5-en-3β-ol, 17β-amino-
(preparation of)

RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Primary amines were prepared by the reduction of alkoxyethylideneamino compds., RN:C(OR')Me (R = aliphatic, alicyclic, or araliphatic radical and R' = M or Et), by Na-Hg or Zn-Hg in an acid medium. This methode is effective for compds. such as 16α- or 16β-methyl-17-(alkoxyethylideneamino)androstanes which are subject to strong steric hindrance. Thus, methylamine was prepared by the reaction of 1 part (1-ethoxyethylideneamino)methane, b. 99-100°, in 15 parts 3N HCl with 16 parts Na-Hg for 3 hrs. at 5-10°. The mixture was decanted from the Hg and evaporated to dryness in vacuo to give MeNH2.HCl, m. 226° (alc.-ether). Other amines prepared similarly: ethylamine, b. 16.5°; 1-amino-2-methylpropane, b. 67-9°; 1-aminopentadecane, b2 130-2°; aminocyclohexane, b7 61-3°; 17β-aminoandrost-5-en-3β-ol, b. 166-8°; 3β-acetoxy-17β-aminoandrost-5-ene, m. 133-4° (MeOH); 17β-amino-5α-androstan-3β-ol, m. 160-2° (EtOAc); 3β-acetoxy-17β-amino-5α-androstane, m. 102-5° (MeOH); 16α-methyl-17β-amino-5α-androstan-3β-ol, m. 161-3° (MeOH); 3β-acetoxy-16α-methyl-17β-amino-5α-androstane, m. 135-7° (MeOH); 16α-methyl-17β-aminoandrost-5-en-3β-ol, m. 168-71° (MeOH); 16β-methyl-17β-aminoandrost-5-en-3β-ol, m. 194-6°(MeOH); benzylamine, b. 185°.

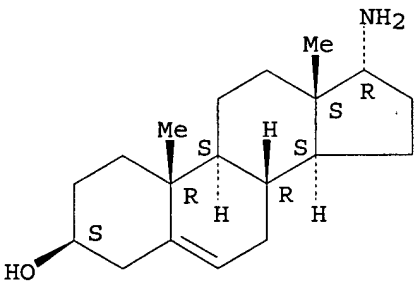
L68 ANSWER 29 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:29861 HCAPLUS
DOCUMENT NUMBER: 62:29861
ORIGINAL REFERENCE NO.: 62:5319f-g
TITLE: 17α-Amino steroids
INVENTOR(S): Cole, John W.
PATENT ASSIGNEE(S): Abbott Laboratories
SOURCE: 20 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1365225		19640626	FR	
DE 1205094			DE	
GB 1010772			GB	
US 3155690		1964	US	

PRIORITY APPLN. INFO.: US 19620817

OTHER SOURCE(S): CASREACT 62:29861
IT **1229-07-8**, Androst-5-en-3β-ol, 17α-amino-
(preparation of)
RN 1229-07-8 HCAPLUS
CN Androst-5-en-3β-ol, 17α-amino- (7CI, 8CI) (CA INDEX NAME)

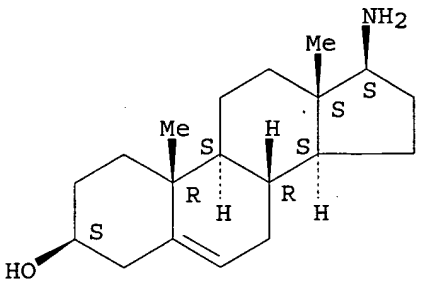
Absolute stereochemistry.



AB p-Toluene- or benzenesulfonates or methanesulfonates of 17β-hydroxy steroids were prepared in the usual way and heated in stainless steel vessels with excess liquid NH3 or the appropriate alkyl amine at 125-65° for 1 to 48 hrs. to give the title compds., which are antiandrogenic. The p-toluenesulfonates of the following steroids were prepared: testosterone, m. 171-2° (acetone-hexane); 3,3-ethylenedioxyandrost-5-en-17β-ol, m. 181-2° (CH2Cl2-MeOH); 3β-acetoxyandrost-5-en-17β-ol, m. 162-4° (Me2CO); 3β-hydroxyandrost-5-en-17β-ol, 130-2° (MeOH). The following title compds. were then prepd: 17α-aminoandrost-5-en-3β-ol (I), m. 193-5° (ether), [α]D -93° (CHCl3); 3β-acetoxy-17α-acetamidoandrost-5-ene (by acetylation of I), m. 161-1.5° (MeOH); 17α-methylaminoandrost-4-en-3-one, m. 183-5° (ether).

L68 ANSWER 30 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:3300 HCAPLUS
DOCUMENT NUMBER: 62:3300
ORIGINAL REFERENCE NO.: 62:631a-e
TITLE: Dimedon (5,5-dimethylcyclohexane-1,3-dione) as a protecting agent for amine groups in peptide synthesis
AUTHOR(S): Halpern, B.; James, L. B.
CORPORATE SOURCE: Australian Natl. Univ., Canberra
SOURCE: Australian Journal of Chemistry (1964), 17(11), 1282-7
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 62:3300
IT **4350-66-7**, Androst-5-en-3β-ol, 17β-amino-
(peptide derivs.)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

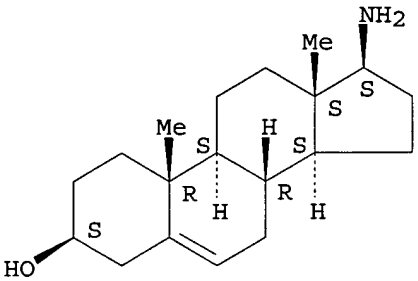


AB cf. CA 61, 1932g. Dimedon (I) with amino acid esters yielded optically pure enamine derivs., which could be converted through their hydrazides into the corresponding azides. The protecting group can easily be removed from the N-protected peptides with aqueous Br with the formation of 2,2-dibromodimedon (II) and the HBr salt of the corresponding peptide ester. (R = 5,5-dimethyl-2-cyclohexen-1-on-3-yl throughout this abstract) I (0.7 g.) in 15 cc. CHCl3 treated with 1.23 g. H2NCH2CO2CH2Ph.HBr (III.HBr) and 0.5 g. Et3N overnight yielded 1 g. RNHCH2CO2CH2Ph (IV), m. 132° (C6H6). Similarly were prepared the dimedon derivs. of the following compds. [m.p. and [α]D (1%, CHCl3 given]: DL-alanine thiophenyl ester, 115°, --; L-alanine thiophenyl ester, 142°, -263°; L-leucine thiophenyl ester, 147°, -252°; L-leucine Me ester, 129°, -80°; L-valine thiophenyl ester, 133°, -325°; DL-valine nitrophenyl ester, 156°, --; DL-phenylalanine Et ester, 96°, --. The dimedon derivative of the last compound (0.6 g.) stirred 2 hrs. at room temperature with 3.5 cc. 80% N2H4.H2O yielded 0.5 g. DL-phenylalanine hydrazide, m. 148°. Similarly were prepared glycine hydrazide (V), m. 202° (EtOH), DL-leucine hydrazide, m. 160° (AcOEt), and DL-alanine hydrazide, m. 180° (MeOH-Et2O). DL-Alanine thiophenyl ester dimedon derivative (0.3 g.) and III in CHCl3 refluxed 5 hrs. gave 0.3 g. R-DL-Ala-Gly-OCH2Ph, m. 77° (C6H6) (method A). V (0.7g.) in 4 cc. H2O and 3.3 cc. N HCl treated slowly at 0° with 0.23 g. NaNO2 in 5 cc. H2O, the precipitate extracted into CHCl3, and the extract added to 0.8 g. III in 15 cc. CHCl3 at 0°, stirred 1 hr. at 0°, and kept 24 hrs. at room temperature gave 0.8 g. R-Gly-Gly-OCH2Ph, m. 126° (C6H6) (method B). Similarly were prepared the following compds. (m.p. and method of preparation given): R-Gly-DL-Ala-OEt, 140° (C6H6), B; R-L-Leu-Gly-OCH2Ph, 82° (Et2O-petr. ether), A and B [[α]D -44.5° (1%, CHCl3)]; R-DL-Phe-Gly-OCH2Ph, 164° (MeOH-Et2O), B; R-DL-Val-Gly-OCH2Ph, 139° (AcOEt-hexane), A. R-Gly-Gly-OEt (VI) (0.5 g.) in 10 cc. H2O treated with aqueous Br to a persistent yellow color, cooled to 0°, filtered from II, and evaporated gave 0.2 g. Gly-Gly-OEt.HBr, m. 176° (absolute EtOH). Glycine Et ester dimedon derivative (VII) (2 g.) in 10 cc. 5N HCl kept at room temperature overnight yielded glycine-HCl dimedon derivative (VIII.HCl), m. 192°. IV (0.5 g.) treated 1 hr. at room temperature with 5 cc. 36% HBr-AcOH gave VIII.HBr. VIII.HCl (0.9 g.) in CHCl3 treated with 0.55 cc. Et3N gave VIII, m. 224° (H2O). VII (0.3 g.) shaken 10 min. with 5 cc. NH4OH (d. 0.88) yielded 0.2 g. glycinamide dimedon derivative, m. 204°. VI (0.4 g.) gave similarly 0.4 g. R-Gly-Gly-NH2, m. 185° (EtOH). VII (0.3 g.) treated overnight at room temperature with 5 cc. 5N NaOH gave glycine dimedon derivative m. 224° (H2O).

L68 ANSWER 31 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:425599 HCAPLUS
DOCUMENT NUMBER: 61:25599
ORIGINAL REFERENCE NO.: 61:4421e-h,4422a

TITLE: Amino steroids. XVI. 17-Monoamino and 3,17-diamino steroids
AUTHOR(S): Schmitt, Josef; Panouse, Jacques J.; Hallot, Andre; Pluchet, Hubert; Comoy, Pierre; Cornu, Pierre Jean
CORPORATE SOURCE: (Centre Rech. Etablissements, Paris
SOURCE: Bulletin de la Societe Chimique de France (1964), (4), 771-5
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 61:25599
IT **4350-66-7**, Androst-5-en-3β-ol, 17β-amino-
(preparation of)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

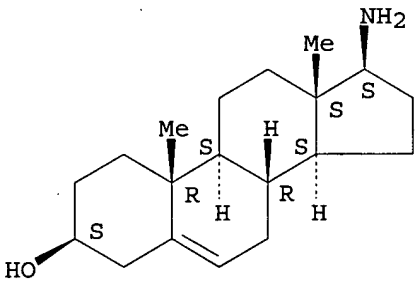


GI For diagram(s), see printed CA Issue.
AB The reductive amination of oxo steroids with an amine, Al, HgCl2, and a hydroxylated solvent was applied to I. The reactivity varies in accordance with the nature of the amine as opposed to the steroid, but the only basic substances isolated up to now possess a 17β-amine group. Considerable amts. of neutral by-products are also formed. 3β,17β-Diamino-5β-androstane (II) was prepared by the Beckmann rearrangement of 3β-acetylamino-20-hydroxyimino-5β-pregnane (III). I (5.77 g.) and 10 cc. 20% alc. MeNH2 refluxed 7 hrs. with 5.8 g. Al, 0.3 g. HgCl2, 100 cc. 95% EtOH, and 25 cc. H2O yielded 3.35 g. 17β-methylamino-5-androsten-3β-ol (IV), m. 206-8° (MeOH), [α]20.5D -67.4° (c 1.0) (all rotations were measured in CHCl3). IV (3g.), 9 g. HCO2H, and 3 cc. 40% aqueous CH2O refluxed 6 hrs. while being treated with an addnl. 3 cc. aqueous CH2O gave 2.0 g. 17β-Me2N analog of IV, m. 212-14° (AcOEt). IV (9.06 g.) oxidized during 12 hrs. with 48 cc. cyclohexanone and 3 g. (isoPrO)3Al in 225 cc. refluxing MePh gave 5.4 g. 17β-methylamino-4-androsten-3-one, m. 97-100° (petr. ether), [α]23D 115.1° (c 1.0). I (3.3 g.), 1.5 g. Al, 0.5 g. HgCl2, 7.5 cc. 95% EtOH, 1.5 cc. H2O, and 2 cc. pyrrolidine refluxed 4 hrs. yielded 0.3 g. 17β- pyrrolidino analog of IV, m. 181-5° (petr. ether), [α]28D -54.5° (c 0.5). I (5.8 g.), 3 g. Al, I g. HgCl2, 150 cc. 95% EtOH, 4 cc. H2O, and 2.6 g. N2H4.H2O refluxed 2.5 hrs., and the crude product (6 g.), m. 161-2°, dissolved in 10% aqueous AcOH left 1.2 g. insol. material; the filtrate extracted with AcOEt to remove 1 g. neutral steroids and basified with NH4OH yielded 3.3 g. 17β-NH2 analog of II, m. 158-9° (AcOEt), [α]25D -67.8° (c 0.5, CHCl3), [α]23D -69.4° (c 1.0); N,O-di-Ac derivative m. 192-4° (iso-Pr2O), [α]23D 110 ± 2° (c 0.5); N-benzylidene derivative m. 240° (EtOH). 3β-Acetylamino-20-hydroxyimino-5β-pregnane

(5 g.) in 20 cc. dry C5H5N treated with stirring at 0° with 10 cc. POCl3 in 30 cc. dry C5H5N, kept 0.5 hr. at 0° and 4-5 hrs. at room temperature, and poured into 70 cc. concentrated HCl and ice yielded 3.3 g. 3β,17β-diacetylamino-5β-pregnane (V), m. above 270°, sublimed at 240-50°/0.05 mm., [α]24D -13.4° (c 1.0). V (11.2 g.), 54 g. NaOH, 360 cc. 95% EtOH, and 120 cc. H2O heated 4 hrs. at 180° in an autoclave, and the oily product, b0.05 175-90°, treated with 4.7 g. maleic acid yielded the maleate of II, m. 189-90° (decomposition) (H2O).

L68 ANSWER 32 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1962:73633 HCAPLUS
DOCUMENT NUMBER: 56:73633
ORIGINAL REFERENCE NO.: 56:14357e-i
TITLE: Synthesis of primary amines from N-substituted imido esters
AUTHOR(S): de Ruggieri, Pietro; Gandolfi, Carmelo; Chiaramonti, Domenico
CORPORATE SOURCE: Ormonoterpia Richter, Milan
SOURCE: Gazzetta Chimica Italiana (1961), 91, 665-71
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
IT **4350-66-7**, Androst-5-en-3β-ol, 17β-amino-
(preparation of)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

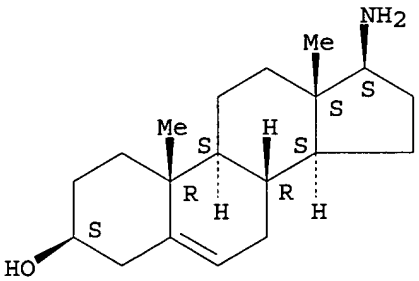


AB cf. preceding abstract. MeC(OR'):NR (I) were transformed into RNH2 (II) by the following method: one part I in 20-30 parts EtOH, tetrahydrofuran, or dioxane was treated at 0-5° with 15-20 parts 3N HCl and then, during 3 hrs., with 15-20 parts 3% or 5% Na-Hg, the solution decanted, made alkaline, and the product isolated by filtration, extraction, or distillation; the reduction was carried out also by stirring 6-8 hrs. with Zn-Hg. RN:CHPh (III) were prepared from II with BzH in EtOH. The following simple I were transformed into the corresponding II (R, R', and b.p./mm. of I given): Me, Et, 99-100°/760; Et, Et, 80-2°/760; Me2CHCH2, Et, 145-7°/760; C15H31, Et, 163-5°/5; cyclohexyl, Me, 56-7°/10; cyclohexyl, Et, 61-3°/7; PhCH2, Et, 108-10°/17. The following steroids carrying the MeC(OR'):N group in the 17β-position were transformed into the corresponding 17β-amines by the same method (parent steroid, R', m.p. of II, [α]D of II, m.p., and [α]D of III derivative listed): androst-5-en-3β-ol (IV), Me or Et, 166-8°, -54°, 236-8°, 1°; IV acetate, Me or Et, 132-4°,

-74°, 191-3°, -13°; 5α-androstan-3β-ol (V), Et, 160-2°, -, -, -; V acetate, 102-5°, -7.6°, -, -, -; 16α-methylandrost-5-en-3β-ol (VI), Et, 168-71°, -85°, 225-7°, -15.2° (17β-forms) [and 175-6°, +5.3°, 100-2°, 66° (17α-forms)]; VI acetate, Et, 152-4°, -71°, 219-21° -14.4°; 16α-methyl-5α-androstan-3β-ol (VII), Me or Et, 162-3°, -10°, 194-6°, 45°; VII acetate, Me or Et, 135-7°, -15°, 210-12°, 41°; 16α-methyl-3β-acetoxyandrost-5-ene, Et, 194-6°, -15° (3β-ol), 198-202°, 29°; 16β-methyl-3β-acetoxy-5α-androstane, Et, 228-31°, 9.1° (3β-ol), -, -. In the case of the two latter compds. the reaction was accompanied by saponification of the 3-acetoxy group.

L68 ANSWER 33 OF 41 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1959:67855 HCAPLUS
DOCUMENT NUMBER: 53:67855
ORIGINAL REFERENCE NO.: 53:12345b-i,12346a-h
TITLE: Steroids and Walden inversion. XLI. Deamination of some A-nor-, B-nor-, and 17-aminosteroids
AUTHOR(S): Shoppee, C. W.; Sly, J. C. P.
CORPORATE SOURCE: Univ. Coll., Swansea, S. E. Wales
SOURCE: Journal of the Chemical Society, Abstracts (1959) 345-56
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 53:67855
IT **4350-66-7**, Androst-5-en-3β-ol, 17β-amino- (preparation of)
RN 4350-66-7 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB cf. C.A. 53, 1412g. NH2 groups attached to flexible 5-membered carbocyclic systems, e.g., cyclopentane, cis-perhydroindan, appear to possess mixed equatorial-axial character. NH2 groups attached to rigid 5-membered carbocyclic systems, e.g. trans-perhydroindan, or to such systems forming part of the nuclei of A-nor-5α-, A-nor-5β- and 14α-steroids, at positions adjacent to a bridgehead, appear to possess either equatorial character disclosed by deamination with retention of configuration, or axial character disclosed by deamination with ready and exclusive elimination (Saytzew orientation); nor steroids with NH2 groups not adjacent to a bridgehead, like aliphatic amino groups, undergo deamination with predominant inversion of configuration accompanied by some elimination. Cholestanol (11 g.) oxidized 2.5 hrs. at

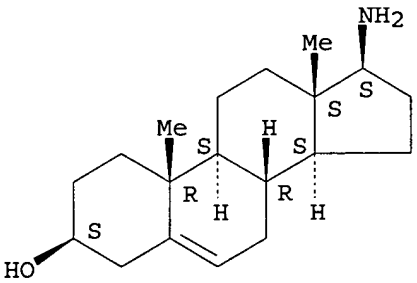
70-5° with 11.5 g. CrO3 in 90% AcOH gave 8.5 g. 2,3-seco-5α-cholestane-2,3-dioic acid, m. 196-7° (Et2O-pentane), which when refluxed with Ac2O and distilled at 300°/1.5 mm. gave 4.6 g. A-nor-5α-cholestan-2-one (I), m. 100-1° (MeOH); oxime m. 201-3° (EtOAc). I by reduction with excess Na in alc., or with (iso-PrO)3Al in slowly distilling (7 hrs.) PrOH gave a mixture of epimeric alcs., which were separated by overnight treatment with 4% alc. solution of digitonin. The insol. digitonide on decomposition with C5H5N gave A-nor-5α-cholestan-2α-ol (II), m. 128°, [α]D 38° (c 1.2, all rotations determined in CHCl3); acetate, m. 80°, [α]D 1° (c 0.8). The material not precipitated by digitonin gave A-nor-5α-cholestan-2β-ol (III), as solvate, m. 120° with transition to needles m. 135°, and after sublimation at 160°/0.5 mm., m. 153°, [α]D 28° (c 1.0); acetate m. 93°, [α]D 25° (c 0.4). I oxime (0.6 g.) refluxed 2 hrs. in 200 cc. AmOH saturated with Na, left 1.5 hrs., and excess Na destroyed with alc. gave 580 mg. of oil which was chromatographed on Al2O3 to give 430 mg. 2β-amino-A-nor-5α-cholestane (IV), b0.01 150°, [α]D 25.5° (c 0.9); acetyl derivative m. 190-1° (Me2CO), [α]D 39° (c 1.0). I oxime (0.5 g.) hydrogenated 6 hrs. with 200 mg. PtO2 in 50 cc. AcOH, the product acetylated, and chromatographed on Al2O3 gave 410 mg. IV N-Ac derivative 3,4-Seco-5-cholestene-3,4-dioic acid (m. 296°) was converted by refluxing with Ac2O and pyrolyzing at 300-20°/ 1.5 mm. into A-nor-5β-cholesten-3-one (V), m. 95°. Hydrogenation of V with PdO in Et2O-AcOH gave A-nor-5β-cholestan-3-one (VI), m. 74°; oxime m. 129-30°, [α]D 74° (c 0.9). VI (250 mg.) in refluxing alc. treated 2 hrs. with Na, isolated, and chromatographed on Al2O3 gave 200 mg. A-nor-5β-cholestan-3β-ol (VII), m. 89° and 107°, [α]D 51° (c 0.9). VI (85 mg.) refluxed 1 hr. with 50 mg. LiAlH4 in Et2O gave 85 mg. of an oil which when chromatographed gave 69 mg. VII. VI (100 mg.) resisted hydrogenation in the presence of 44 mg. PtO2 in Et2O-AcOH containing 2 drops 60% HClO4 and was recovered unchanged (97 mg.). V oxime (0.6 g.) refluxed 3 hrs. in 120 cc. AmOH saturated with Na, left 1 hr., excess Na destroyed, and the mixture poured into H2O, extracted with Et2O, and worked up through the Et2O-insol. HCl salt gave 400 mg. 3β-amino-A-nor-5β-cholestane (VIII), b0.5 181-5°, [α]D 46° (c 0.8); Ac derivative m. 246-7°, [α]D 48° (c 0.9). V oxime (250 mg.) reduced 0.75 hr. in 35 cc. AcOH with 100 mg. PtO2 and H gave 220 mg. of an oil which when chromatographed on Al2O3 gave 3α-amino-A-nor-5β-cholestane (IX), m. 66-8° (MeOH), [α]D 9° (c 1.1); Ac derivative m. 166-8°, [α]D 67° (c 0.9). 3β-Hydroxy-6,7-seco-5α-cholestane-6,7-dioic acid, m. 239°, was oxidized with CrO3 in AcOH to the 3-oxo acid, m. 254-5°. The 3-oxo acid (8.3 g.) refluxed 1 hr. with 215 cc. (CH2OH)2 containing 7 cc. N2H4.H2O with 8.3 g. Na, the temperature allowed to rise to 185° and refluxing continued 6 hrs. gave 7.3 g. 6,7-seco-5α-cholestane-6,7-dioic acid (X), m. 272-3° (AcOH). The Ba salt of X by pyrolysis 3 hrs. at 400-20°/1.5 mm. gave B-nor-5β,8α-cholestan-6-one (XI), m. 92-3° (aqueous Me2CO); oxime m. 185-7° (MeOH). XI (200 mg.) refluxed 1.5 hrs. in 80 cc. AmOH with Na and the crude product chromatographed gave 144 mg. B-nor-5β,8α-cholestan-6α-ol (XII), m. 85-7° (aqueous Me2CO), [α]D 42° (c 1.0). XI (300 mg.) refluxed 14 hrs. with excess LiAlH4 and the 290 mg. of crude product chromatographed on Al2O3 gave 145 mg. unchanged XI and 120 mg. XII. XII left overnight with SOCl2 in C5H5N gave B-nor-8α-cholest-5-ene, an oil. XI oxime (215 mg.) refluxed 4 hrs. with Na and AmOH gave after chromatography 6α-amino-B-nor-5β,8α-cholestane

(XIII), b1 220-30°, [α]D 33° (c 1.1); Ac derivative, b0.4 180-90°, m. 178-80° (Me2CO), [α]D 14° (c 1.1). XI oxime (110 mg.) in 30 cc. dioxane refluxed 16 hrs. with excess LiAlH4 and the crude product acetylated and chromatographed gave XIII Ac derivative XI oxime (120 mg. resisted hydrogenation in 30 cc. AcOH with 50 mg. PtO2 at 20° and at 55-60° with 4 drops 60% HClO4. 5α-Androstan-17-one oxime (XIV) (1 g.) similarly treated with Na in alc. gave 17β-amino-5α-androstane (XV), m. 138-41° (Me2CO); Ac derivative m. 208-9° (EtOAc). XIV (0.5 g.) in 100 cc. Et2O refluxed 3 hrs. with 1 g. LiAlH4 gave 480 mg. XV. XIV (0.4 g.) hydrogenated 1 hr. with 50 cc. AcOH, 100 mg. PtO2, and 2 drops 60% HClO4 gave 380 mg. XV. 3β-Acetoxy-5-androsten-17-one oxime (XVI) (1.5 g.) similarly reduced with 100 cc. alc. and Na gave 1.3 g. 17β-amino-5-androsten-3β-ol (XVII), m. 160° (EtOAc), [α]D -80° (c 1.0); N,O-di-Ac derivative m. 196°, [α]D -88° (c 0.5). XVI (0.5 g.) in 50 cc. Et2O refluxed 3 hrs. with excess LiAlH4 gave 450 mg. XVII. 3β-Acetoxy-5-etienic acid (0.5 g.) in 20 cc. C6H6 refluxed 2 hrs. with 1 cc. purified SOCl2, the chloride in 60 cc. 2:1 Me2CO-dioxane treated 0.5 hr. with 300 mg. NaN3 in 1.2 cc. H2O, and this material heated 1.5 hrs. in C6H6 gave the 17β-isocyanate, which was refluxed 2 hrs. with 20 cc. AcOH and 7 cc. concentrated HCl, evaporated, and the product refluxed 1 hr. with 15% MeOHNaOH, and the base isolated through the Et2O-insol. HCl salt and chromatographed to give 175 mg. XVII. In the following 6 expts. the steroid amine was dissolved in 50% AcOH and where necessary dioxane added to give full solution NaNO2 (2-3 times the weight of amine) in 50% AcOH was added dropwise at 20°, the mixture left overnight, after basification with 4N NaOH, and the product isolated by extraction with Et2O, and then hydrolysis 0.5 hr. with 5% MeOH-KOH, or acetylation at 100°. (1) IV (205 mg.) gave a product which by chromatography on Al2O3 gave 5 mg. of an oil which did not crystallize, but gave a pos. test for unsatn. with C(NO2)4 in CHCl3, and is probably A-nor-5α-cholest-1(and/or -2)-ene, 125 mg. of II, and 60 mg. of an oil which by acetylation gave IV Ac derivative (2) VIII (0.6 g.) gave a product from which most of the basic material was separated by treatment with dry HCl in Et2O. The Et2O-insol. HCl salt (290 mg.) gave on acetylation VIII Ac derivative The 315 mg. of residue by chromatography gave: (a) 177 mg. A-norcholest-3(5)-ene (XVIII), m. 80°, [α]D 53° (c 1.1); (b) 119 mg. VII; and (c) 14 mg. of oil, which on acetylation gave VII Ac derivative (3) IX (210 mg.) gave 195 mg. of crude product which on chromatography gave (a) 82 mg. XVIII, and (b) 105 mg. oils which on acetylation gave IX Ac derivative (4) XIII (300 mg.) gave 280 mg. crude product which on chromatography gave (a) 50 mg. B-nor-8α-cholest-5-ene, noncryst. but gave a pos. C(NO2)4 test; (b) 146 mg. of a substance, C26H46ON2, m. 121° and 136-8°, and (c) 75 mg. of oil which on acetylation gave XIII Ac derivative (5) XV (130 mg.) gave 125 mg. 5α-androstan-17β-ol, m. 168-70° (hexane). (6) XVII (0.5 g.) gave 485 mg. androst-5-ene-3β,17β-diol, m. 177-80° (EtOAc). Complete absence of elimination products in the deamination of 17β-amino steroids may reflect the presence of the angular Me group on the adjacent bridgehead C atom and suggests that a diazonium ion, rather than a carbonium ion, is the important intermediate.

L68 ANSWER 34 OF 41 CAOLD COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: CA64:779a CAOLD
TITLE: 17-hydroxymethyl steroids-preparation of 17α-hydroxy-17β-hydroxymethyl-4-androsten-3-one from Reichstein substance S
AUTHOR NAME: Schubert, Alfred; Schwarz, S.
TITLE: synthesis of 17α-amino-5-androsten-3β-ol-nuclear

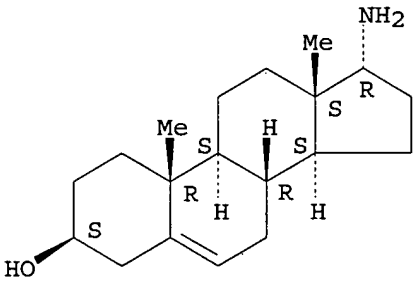
magnetic resonance spectra of 17-substituted androstanes
AUTHOR NAME: Robinson, Cecil H.; Ermann, C.; Hollis, D. P.
IT **4350-66-7**
RN 4350-66-7 CAOLD
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L68 ANSWER 35 OF 41 CAOLD COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: CA64:778h CAOLD
TITLE: racemic 17β-hydroxy-17α-vinylestr-5(10)-en-3-one
AUTHOR NAME: Hiscock, Alan K.; Whitehurst, J. S.
IT **1229-07-8**
RN 1229-07-8 CAOLD
CN Androst-5-en-3β-ol, 17α-amino- (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.

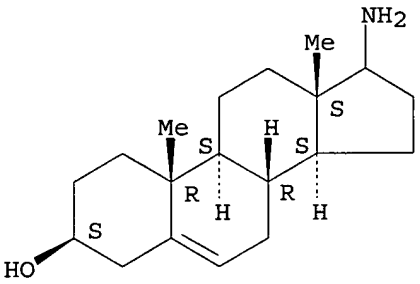


L68 ANSWER 36 OF 41 CAOLD COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: CA63:10029c CAOLD
TITLE: steroid compds.
AUTHOR NAME: Mazur, Robert H.
DOCUMENT TYPE: Patent
TITLE: steroids
PATENT ASSIGNEE: Searle, G. D., & Co.
DOCUMENT TYPE: Patent

PATENT NO.	KIND	DATE
GB 996256		

IT **2723-01-5**
RN 2723-01-5 CAOLD
CN Androst-5-en-3-ol, 17-amino-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

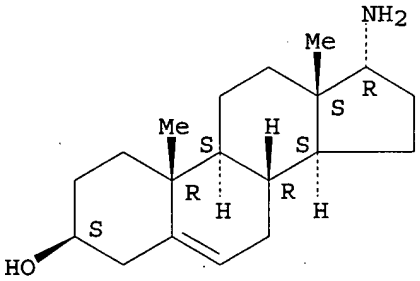


L68 ANSWER 37 OF 41 CAOLD COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: CA62:5319g CAOLD
TITLE: 3β, 17α-acyloxy-16-methylene-3,5-pregnadiene 20-one
PATENT ASSIGNEE: Merck, E., A.-G.
DOCUMENT TYPE: Patent

	PATENT NO.	KIND	DATE
PI	FR M2595		
	US 3183158		1965

IT **1229-07-8**
RN 1229-07-8 CAOLD
CN Androst-5-en-3β-ol, 17α-amino- (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.

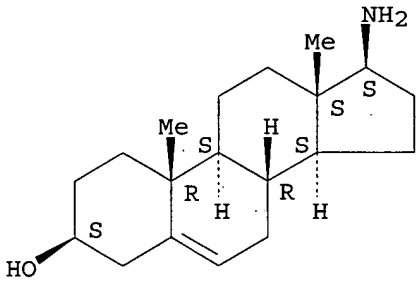


L68 ANSWER 38 OF 41 CAOLD COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: CA61:7075e CAOLD
TITLE: 5α-chloro-17α-ethynyl-19-norandrostan-17β-ol-3-one
AUTHOR NAME: Iriarte, Jose
PATENT ASSIGNEE: Syntex Corp.
DOCUMENT TYPE: Patent

	PATENT NO.	KIND	DATE
PI	US 3138622		1964

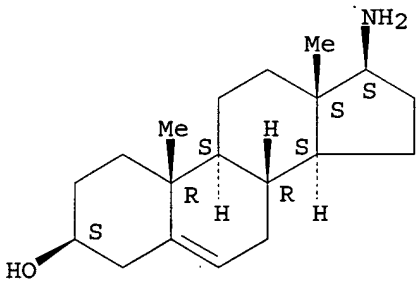
IT **4350-66-7**
RN 4350-66-7 CAOLD
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



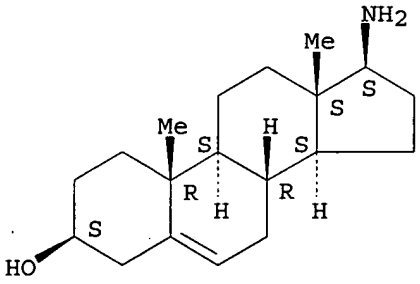
L68 ANSWER 39 OF 41 CAOLD COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: CA61:4421e CAOLD
TITLE: amino steroids - (XVI) 17-monoamino and 3,17-diamino steroids
AUTHOR NAME: Schmitt, Josef; Panouse, J. J.; Hallot, A.; Pluchet, H.; Comoy, P.; Cornu, P. J.
IT **4350-66-7**
RN 4350-66-7 CAOLD
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



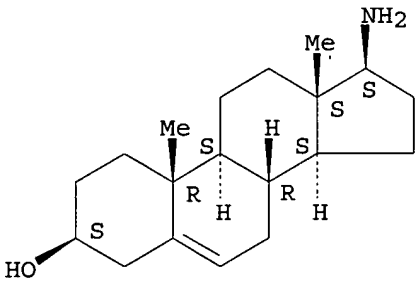
L68 ANSWER 40 OF 41 CAOLD COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: CA56:14357e CAOLD
TITLE: synthesis of primary amines from N-substituted imido esters
AUTHOR NAME: De Ruggieri, Pietro; Gandolfi, C.; Chiaramonti, D.
IT **4350-66-7**
RN 4350-66-7 CAOLD
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L68 ANSWER 41 OF 41 CAOLD COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: CA53:12345b CAOLD
TITLE: steroids and Walden inversion - (XLI) deamination of A-nor-, B-nor-, and 17-aminosteroids
AUTHOR NAME: Shoppee, Charles W.; Sly, J. C. P.
IT **4350-66-7**
RN 4350-66-7 CAOLD
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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=> file registry

FILE 'REGISTRY' ENTERED AT 12:26:00 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6
DICTIONARY FILE UPDATES: 24 MAR 2004 HIGHEST RN 667234-34-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
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http://www.cas.org/ONLINE/DBSS/registryss.html

Files used

=> file hcaplus

FILE 'HCAPLUS' ENTERED AT 12:26:11 ON 25 MAR 2004
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FILE COVERS 1907 - 25 Mar 2004 VOL 140 ISS 13
FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> file ificdb

FILE 'IFICDB' ENTERED AT 12:26:19 ON 25 MAR 2004

FILE COVERS 1950 TO PATENT PUBLICATION DATE: 23 Mar 2004 (20040323/PD)
FILE LAST UPDATED: 24 Mar 2004 (20040324/ED)
HIGHEST GRANTED PATENT NUMBER: US2004038401
HIGHEST APPLICATION PUBLICATION NUMBER: US2004055066
UNITERM INDEXING LAST UPDATED: 23 Mar 2004 (20040323/UP)

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INDEXING CURRENT THROUGH PAT PUB DATE: 26 Aug 2003 (20030826/PD)

The (S) proximity operator should be used to correctly link chemical
uniterms with role numbers.  Enter 'HELP (S)' at an arrow prompt for
more information on using the (S) operator when searching this file.

IFICDB has been reloaded (12/21/2003).  See HELP RLOAD for details.

=> FIL STNGUIDE

FILE 'STNGUIDE' ENTERED AT 12:26:23 ON 25 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 19, 2004 (20040319/UP).

=> d his

     (FILE 'HOME' ENTERED AT 07:43:16 ON 25 MAR 2004)

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L2               SEL  PLU=ON  L1 1- RN :     191 TERMS
L3           191 SEA FILE=REGISTRY ABB=ON  PLU=ON  L2
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               ACTIVATE PSPE929STR/Q
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L4             STR
               ---------

     FILE 'LREGISTRY' ENTERED AT 07:44:37 ON 25 MAR 2004
L5             STR L4

     FILE 'REGISTRY' ENTERED AT 08:00:25 ON 25 MAR 2004
L6             SCREEN 1841
L7          50 S L6 AND L5
L8      2162078 S NRRS>=4 NOT ((IDS OR MNS OR TIS)/CI OR SEQUENCE/FS)

     FILE 'STNGUIDE' ENTERED AT 08:08:41 ON 25 MAR 2004

     FILE 'REGISTRY' ENTERED AT 08:10:15 ON 25 MAR 2004
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L10     2136544 S NRRS>=4 NOT ((IDS OR MNS OR TIS OR PMS)/CI OR SEQUENCE/FS)
L11         50 S (L6 AND L5) SSS SAM SUB=L10
L12     1427792 S NRRS>=4 NOT ((IDS OR MNS OR TIS OR PMS OR CCS)/CI OR SEQUENCE
L13         50 S (L6 AND L5) SSS SAM SUB=L12
L14     1095899 S NRRS>=4 NOT (NC>1 OR (IDS OR MNS OR TIS OR PMS OR CCS)/CI OR

     FILE 'STNGUIDE' ENTERED AT 08:18:17 ON 25 MAR 2004

     FILE 'LREGISTRY' ENTERED AT 09:00:15 ON 25 MAR 2004
L15            STR L4

     FILE 'REGISTRY' ENTERED AT 09:03:41 ON 25 MAR 2004
L16         2128 S L15 FUL
L17            0 S L16 AND L3
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L19             STR L18

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L20           326 S L19 SSS FUL SUB=L16

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L21             STR L19

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L22            38 S L21 SSS FUL SUB=L20
L23             5 S L22 AND C19H31NO/MF
L24            33 S L22 NOT L23
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L70             2 S E337-E341/CRN
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     FILE 'HCAPLUS' ENTERED AT 12:17:46 ON 25 MAR 2004
L71             3 S L70
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     FILE 'IFICDB' ENTERED AT 12:23:03 ON 25 MAR 2004
L72             1 S L71
                SAVE TEMP L72 SPE929IFI1/A

     FILE 'STNGUIDE' ENTERED AT 12:24:32 ON 25 MAR 2004

     FILE 'REGISTRY' ENTERED AT 12:26:00 ON 25 MAR 2004

     FILE 'HCAPLUS' ENTERED AT 12:26:11 ON 25 MAR 2004

     FILE 'IFICDB' ENTERED AT 12:26:19 ON 25 MAR 2004

     FILE 'STNGUIDE' ENTERED AT 12:26:23 ON 25 MAR 2004

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L70             2 SEA FILE=REGISTRY ABB=ON  PLU=ON  (1229-07-8/CRN OR 20989-30-4/
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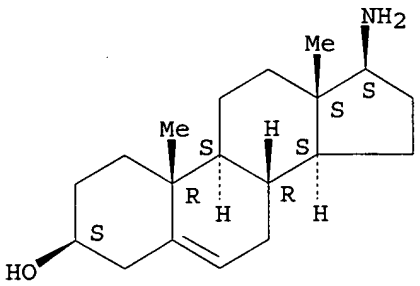
select RN from set containing elected species

search as /CRN to pick up mixtures and/or salts

search in HCAPLUS



● HCl

GI For diagram(s), see printed CA Issue.

AB Androstenes I and II (R = AcNH, R1R2 = O) and II (R = H2N, HCONH; R1 = OH, R2 = H) were prepared from pregnenone III (R = Ac, R1 = AcO, R2 = H). Thus, III (R = Ac, R1 = AcO, R2 = H) underwent successive oximation, Beckmann rearrangement, saponification, and Oppenauer oxidation to give androstenone I (R = AcNH, R1R2 = O), which was dehydrogenated to II (R = AcNH, R1R2 = O). Similarly prepared was III (R = HCONH, R1 = OH, R2 = H).

L71 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:496995 HCAPLUS
DOCUMENT NUMBER: 75:96995
TITLE: Steroidal androgen biosynthesis inhibitors
AUTHOR(S): Arth, G. E.; Patchett, A. A.; Jefopoulus, T.; Bugianesi, R. L.; Peterson, L. H.; Ham, E. A.; Kuehl, F. A., Jr.; Brink, N. G.
CORPORATE SOURCE: Synth. Chem. Dep., Merck Sharp and Dohme Res. Lab., Rahway, NJ, USA
SOURCE: Journal of Medicinal Chemistry (1971), 14(8), 675-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **34386-20-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34386-20-4 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, hydrochloride, (3β,17β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CRN OR 2723-01-5/CRN OR 4350-66-7/CRN OR 496858-16-3/CRN)
L72 1 SEA FILE=IFICDB ABB=ON PLU=ON L70

search in IFICDB

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YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

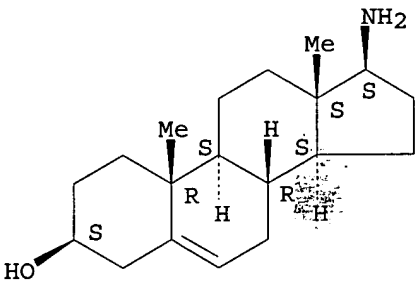
YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L71 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:604265 HCAPLUS
DOCUMENT NUMBER: 95:204265
TITLE: Synthesis of 16α-bromoacetoxy androgens and 17β-bromoacetylamino-4-androsten-3-one: potential affinity labels of human placental aromatase
AUTHOR(S): Numazawa, Mitsuteru; Osawa, Yoshio
CORPORATE SOURCE: Med. Found. Buffalo, Inc., Buffalo, NY, 14203, USA
SOURCE: Steroids (1981), 38(2), 149-59
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **79862-64-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 79862-64-9 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, (3β,17β)-, acetate (salt) (9CI)
(CA INDEX NAME)

CM 1

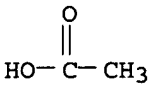
CRN 4350-66-7
CMF C19 H31 N O

Absolute stereochemistry.

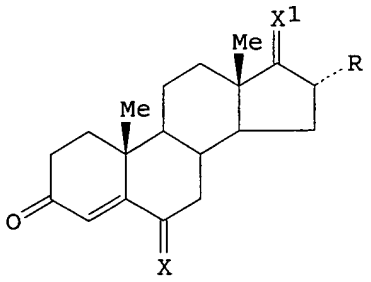


CM 2

CRN 64-19-7
CMF C2 H4 O2



GI



I

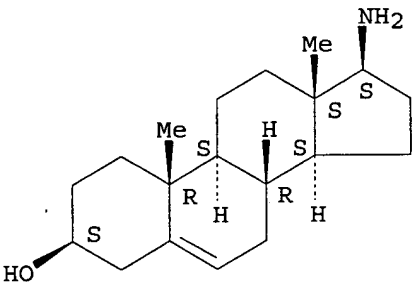
AB The treatment of I (X = H2, X1 = O, R = Br; X = X1 = O, R = Br) with 75% aqueous pyridine and N NaOH gave I [X = H2, X1 = O, R = OH (II); X = X1 = O, R = OH (III)]. Reductive amination of 3β-hydroxyandrost-5-en-17-one and 3-methylandrosta-3,5-dien-7-one gave 17β-aminoandrost-5-en-3β-ol acetate salt and 17β-aminoandrost-4-en-3-one hydrochloride (IV), resp. II, III and IV were converted to their bromoacetyl derivs. I [X = H2, X1 = O, R = BrCH2CO2 (V); X = X1 = O, R = BrCH2CO2 (VI)] and 17β-(bromoacetylamino)androst-4-en-3-one. V and VI are active as competitive inhibitors of partially purified human placental aromatase II, and their inhibitory effect is weaker than that of 17β-(bromoacetoxy)androst-4-en-3-one.

L71 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:505812 HCAPLUS
DOCUMENT NUMBER: 81:105812
TITLE: 3-Oxygenated-17-acylamido androstanes
INVENTOR(S): Arth, Genl E.; Sarett, Lewis H.; Patchett, Arthur A.
PATENT ASSIGNEE(S): Merck and Co., Inc.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3821374	A	19740628	US 1972-272837	19720718
PRIORITY APPLN. INFO.:			US 1970-68028	19700828

IT **34386-20-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 34386-20-4 HCAPLUS
CN Androst-5-en-3-ol, 17-amino-, hydrochloride, (3β,17β)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



● HCl

GI For diagram(s), see printed CA Issue.

AB By a variety of methods including Beckman rearrangement O-deacylation, and Oppenauer oxidation, a series of 17β-acylaminoandrost-4-en-3-ones, such as 17β-formamidoandrost-4-en-3-one (I), 17β-ureidoandrosta-1,4-diene-3-one, and 17β-acetamidoandrost-4-en-3β-ol, was synthesized and tested as inhibitors of 17,20-lyase. These compds. inhibited androgen synthesis in vitro in a rat testicular microsomal preparation and in vivo. The steroidal androgen synthesis inhibitors were more specific in their action than nonsteroidal inhibitors previously reported. High inhibition was associated with androst-4-3n-3-ones bearing substituents C-17β closely related to CH3CO2 in size and polarity. Larger groups at C-17 were associated with decreased activity as was epimerization at C-17 or by 17α substitution. These inhibitors apparently resembled an intermediate transition state on the enzyme at which a separation of the C-17,20 atoms occurred. The inhibitory compds., however, lack a 17α-OH group and therefore there is no pathway to products.

=> d l72 1- ibib ab
YOU HAVE REQUESTED DATA FROM FILE 'IFICDB' - CONTINUE? (Y)/N:y

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L72 ANSWER 1 OF 1 IFICDB COPYRIGHT 2004 IFI on STN

AN	00870466 IFIPAT;IFIUDB;IFICDB
TITLE:	CHEMICAL COMPOSITIONS; 3-OXYGENATED-17-ACYLAMIDO-STEROIDS
INVENTOR(S):	Arth, Geln E, Cranford, NJ Patchett, Arthur A, Cranford, NJ Sarett, Lewis H, Princeton, NJ
PATENT ASSIGNEE(S):	Merck & Co, Inc, Rahway, NJ
PRIMARY EXAMINER:	Roberts, Elbert L
AGENT:	Anderson, Jr, Rudolph J Arno, James A Westlake, Jr, Harry E

	NUMBER	PK	DATE
PATENT INFORMATION:	US 3821374	A	19740628
APPLICATION INFORMATION:	US 1972-272837		19720718
EXPIRATION DATE:	28 Jun 1991		

	APPLN. NUMBER	DATE	GRANTED PATENT NO. OR STATUS
CONTINUATION OF:	US 1970-68028	19700828	
FAMILY INFORMATION:	US 3821374	19740628	
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	CHEMICAL		
	GRANTED		
OTHER SOURCE:	CA 81:105812		
NUMBER OF CLAIMS:	7		

AB THE INVENTION DISCLOSED HEREIN RELATES TO NOVEL STEROID COMPOSITIONS AND, MORE PARTICULARLY, TO COMPOSITIONS EFFECTIVE AS ANDROGEN BIOSYNTHESIS INHIBITORS AND CONTAINING 3-OXYGENATED-17ACYLAMIDO-STEROIDS OF THE ANDROSTANE SERIES. THE NEW COMPOSITIONS , COMPRISING 3-OXYGENATED-17-ACYLAMIDOANDROSTANES AND UNSATURATED DERIVATIVES, ARE EXTREMELY ACTIVE IN LOWERING THE BIOSYNTHESIS OF TESTICULAR ANDROGENS WHICH CAN STIMULATE OVER DEVELOPMENT OF SEBACEOUS GLANDS WITH RESULTANT ACNE AND WHICH ARE OFTEN PRODUCTIVE OF PROSTATIC ENLARGEMENT.

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L72 ANSWER 1 OF 1 IFICDB COPYRIGHT 2004 IFI on STN
RN 1778-02-5; 1865-62-9; 2484-47-1; 4350-67-8; 17916-30-2; 27508-62-9; 29485-93-6; **34386-20-4**

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